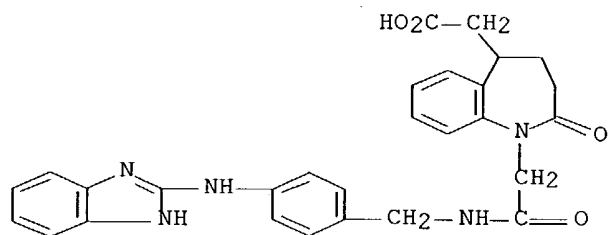
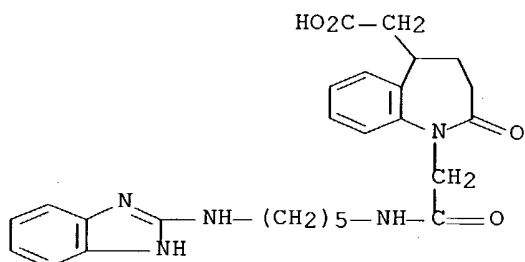


L10 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2003:195814 CAPLUS Full-text
 DN 139:143331
 TI Design and synthesis of 1,5- and 2,5-substituted
 tetrahydrobenzazepinones as novel potent and selective integrin $\alpha\text{V}\beta 3$
 antagonists
 AU Kling, Andreas; Backfisch, Gisela; Delzer, Jurgen; Geneste, Herve;
 Graef, Claudia; Hornberger, Wilfried; Lange, Udo E. W.; Lauterbach,
 Arnulf; Seitz, Werner; Subkowski, Thomas
 CS Discovery Research, Abbott GmbH and Co KG, Neuroscience, Medicinal
 Chemistry, Ludwigshafen, D-67008, Germany
 SO Bioorganic & Medicinal Chemistry (2003), 11(7), 1319-1341
 CODEN: BMECEP; ISSN: 0968-0896
 PB Elsevier Science Ltd.
 DT Journal
 LA English
 OS CASREACT 139:143331
 AB The design and synthesis of novel integrin $\alpha\text{V}\beta 3$ antagonists based on a
 1,5- or 2,5-substituted tetrahydrobenzazepinone core is described. In
 vitro activity of resp. compds. was determined via $\alpha\text{V}\beta 3$ binding assay,
 and selected derivs. were submitted to further characterization in
 functional cellular assays. SAR was obtained by modification of the
 benzazepinone core, variation of the spacer linking guanidine moiety and
 core, and modification of the guanidine mimetic. These efforts led to
 the identification of novel $\alpha\text{V}\beta 3$ inhibitors displaying potency in the
 subnanomolar range, selectivity vs. $\alpha\text{IIb}\beta 3$ and functional efficacy in
 relevant cellular assays. A method for the preparation of
 enantiomerically pure derivs. was developed, and resp. enantiomers
 evaluated in vitro. Compds. 31 and 37 were assessed for metabolic
 stability, resorption in the Caco-2 assay and pharmacokinetics.
 IT 380395-14-2P 380395-20-0P 380395-22-2P
 380395-28-8P 380395-33-5P 380395-41-5P
 380395-43-7P 380395-64-2P 380395-91-5P
 380395-94-8P 380396-18-9P 380396-19-0P
 380396-20-3P 380396-21-4P 570360-71-3P
 570360-72-4P 570360-73-5P 570360-74-6P
 570360-75-7P 570360-77-9P 570360-79-1P
 570360-81-5P 570360-82-6P 570360-87-1P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses) (design and synthesis of 1,5- and 2,5-substituted
 tetrahydrobenzazepinones as novel potent and selective integrin
 $\alpha\text{V}\beta 3$ antagonists)
 RN 380395-14-2 CAPLUS
 CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-
 ylamino)phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI)
 (CA INDEX NAME)



RN 380395-20-0 CAPLUS

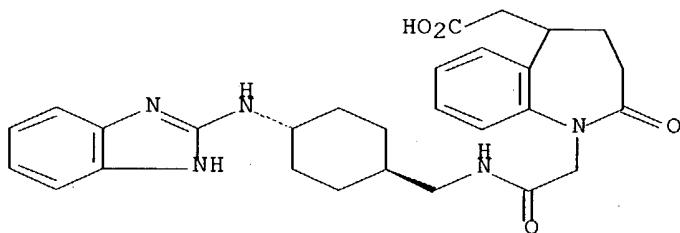
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[5-(1H-benzimidazol-2-ylamino)pentyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



RN 380395-22-2 CAPLUS

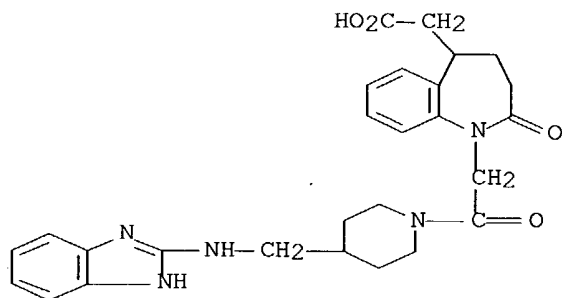
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

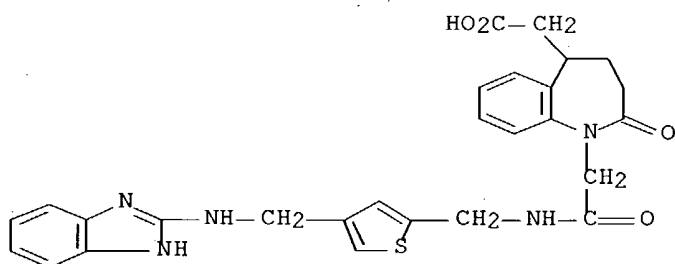


RN 380395-28-8 CAPLUS

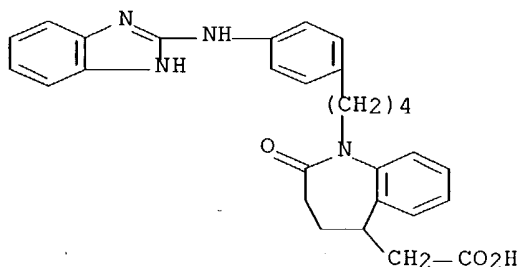
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[4-[(1H-benzimidazol-2-ylamino)methyl]-1-piperidinyl]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



RN 380395-33-5 CAPLUS
 CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-[(1H-benzimidazol-2-ylamino)methyl]-2-thienyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



RN 380395-41-5 CAPLUS
 CN 1H-1-Benzazepine-5-acetic acid, 1-[4-[4-(1H-benzimidazol-2-ylamino)phenyl]butyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



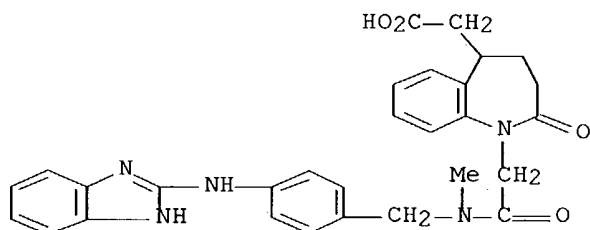
RN 380395-43-7 CAPLUS
 CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]methylamino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-

mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380395-42-6

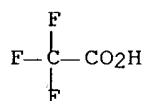
CMF C29 H29 N5 O4



CM 2

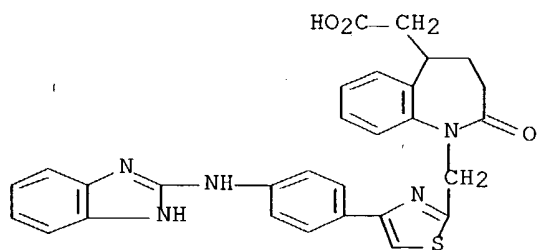
CRN 76-05-1

CMF C2 H F3 O2



RN 380395-64-2 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[[4-[4-(1H-benzimidazol-2-ylamino)phenyl]-2-thiazolyl]methyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



RN 380395-91-5 CAPLUS

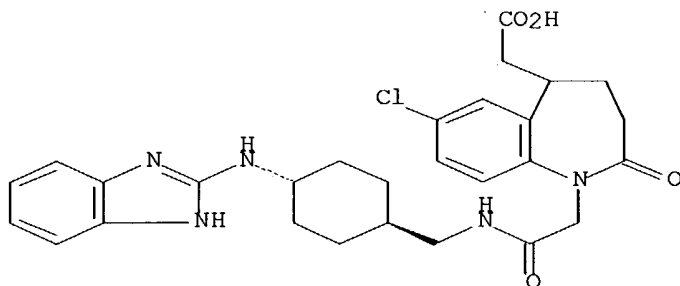
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-7-chloro-2,3,4,5-tetrahydro-2-oxo-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 380395-90-4

CMF C28 H32 Cl N5 O4

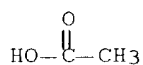
Relative stereochemistry.



CM 2

CRN 64-19-7

CMF C2 H4 O2



RN 380395-94-8 CAPLUS

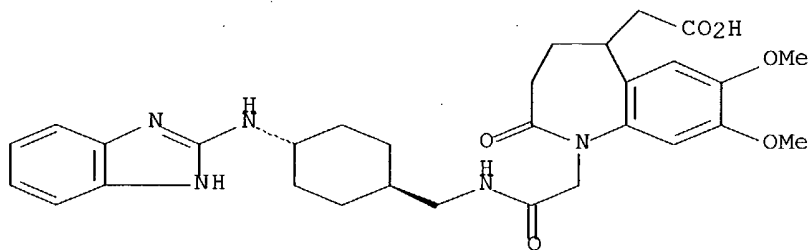
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-7,8-dimethoxy-2-oxo-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 380395-93-7

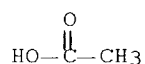
CMF C30 H37 N5 O6

Relative stereochemistry.



CM 2

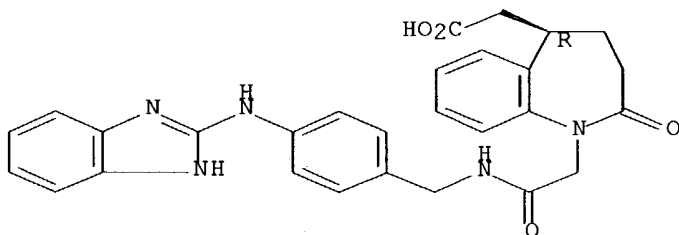
CRN 64-19-7
CMF C2 H4 O2



RN 380396-18-9 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, (5R)-
(9CI) (CA INDEX NAME)

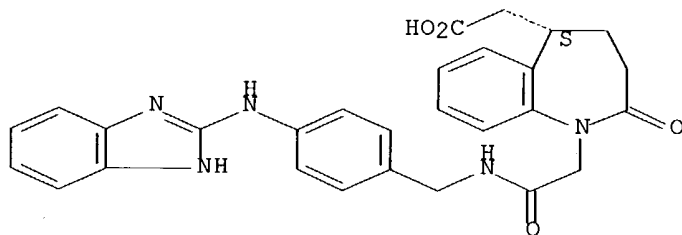
Absolute stereochemistry. Rotation (-).



RN 380396-19-0 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, (5S)-
(9CI) (CA INDEX NAME)

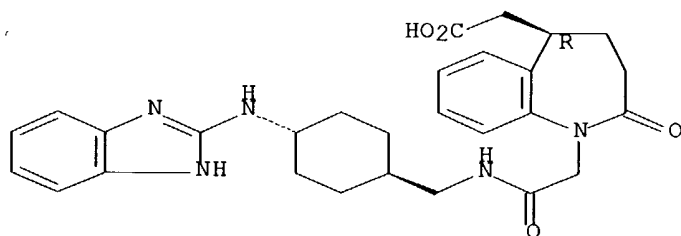
Absolute stereochemistry. Rotation (+).



RN 380396-20-3 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, (5R)- (9CI) (CA INDEX NAME)

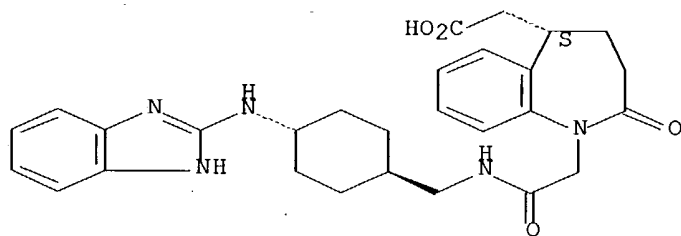
Absolute stereochemistry. Rotation (-).



RN 380396-21-4 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 570360-71-3 CAPLUS

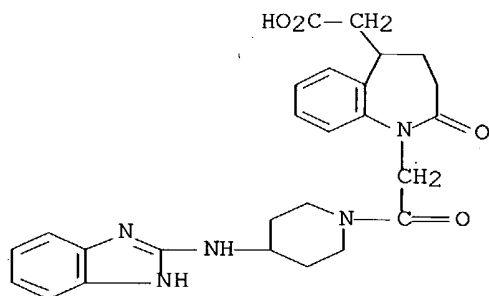
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[4-(1H-benzimidazol-2-ylamino)-1-piperidinyl]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, monoacetate (9CI)

(CA INDEX NAME)

CM 1

CRN 380396-08-7

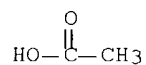
CMF C26 H29 N5 O4



CM 2

CRN 64-19-7

CMF C2 H4 O2



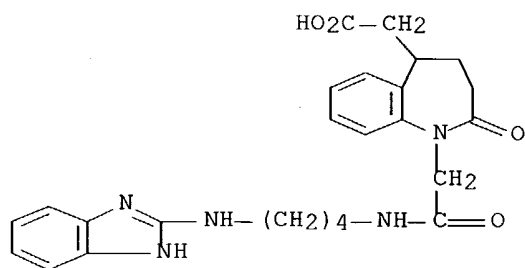
RN 570360-72-4 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[4-(1H-benzimidazol-2-ylamino)butyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, monoacetate (9CI) (CA INDEX NAME)

CM 1

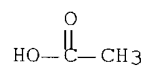
CRN 380395-19-7

CMF C25 H29 N5 O4



CM 2

CRN 64-19-7
CMF C2 H4 O2

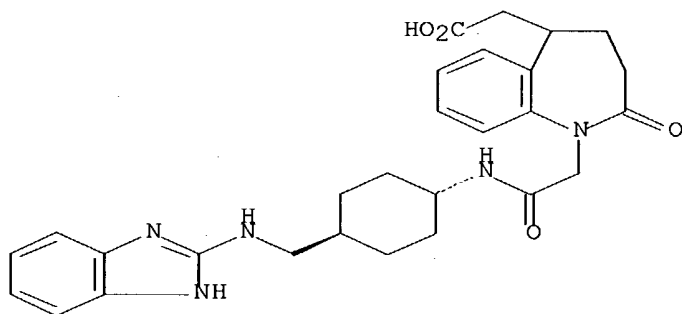


RN 570360-73-5 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[trans-4-[(1H-benzimidazol-2-ylamino)methyl]cyclohexyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, monoacetate (9CI) (CA INDEX NAME)

CM 1

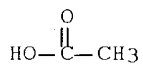
CRN 380395-21-1
CMF C28 H33 N5 O4

Relative stereochemistry.



CM 2

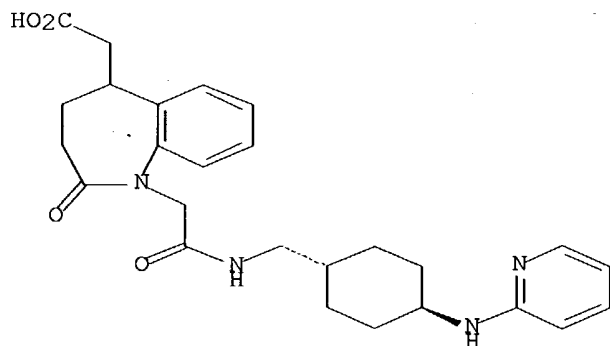
CRN 64-19-7
CMF C2 H4 O2



RN 570360-74-6 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-
[[[trans-4-(2-pyridinylamino)cyclohexyl)methyl]amino]ethyl]- (9CI) (CA
INDEX NAME)

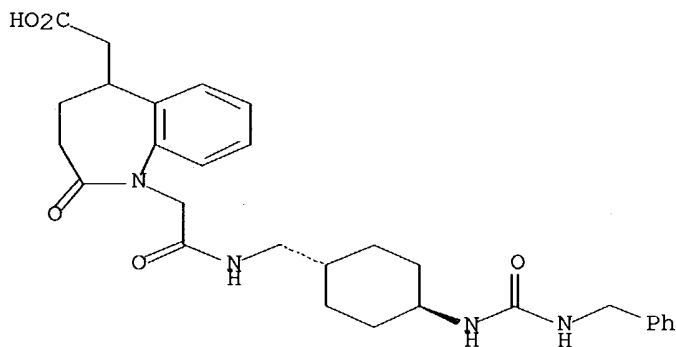
Relative stereochemistry.



RN 570360-75-7 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-
[[[trans-4-
[[[(phenylmethyl)amino]carbonyl]amino]cyclohexyl)methyl]amino]e
thyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 570360-77-9 CAPLUS

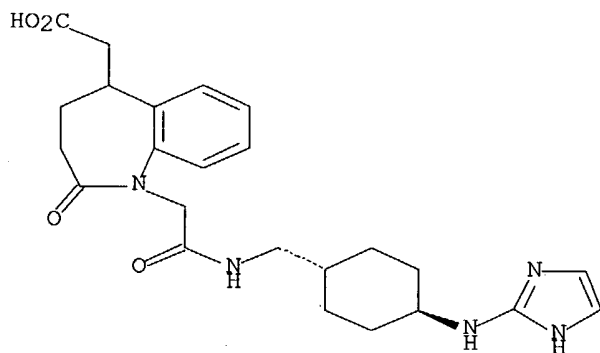
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-1-[2-[[[trans-4-(1H-
imidazol-2-ylamino)cyclohexyl)methyl]amino]-2-oxoethyl]-2-oxo-,
monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 570360-76-8

CMF C24 H31 N5 O4

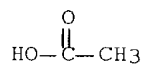
Relative stereochemistry.



CM 2

CRN 64-19-7

CMF C2 H4 O2



RN 570360-79-1 CAPLUS

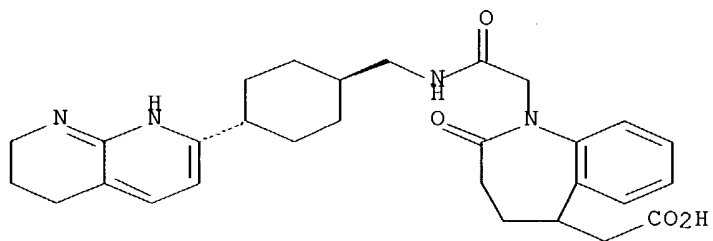
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-[[[trans-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)cyclohexyl]methyl]amino]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 570360-78-0

CMF C29 H36 N4 O4

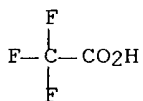
Relative stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



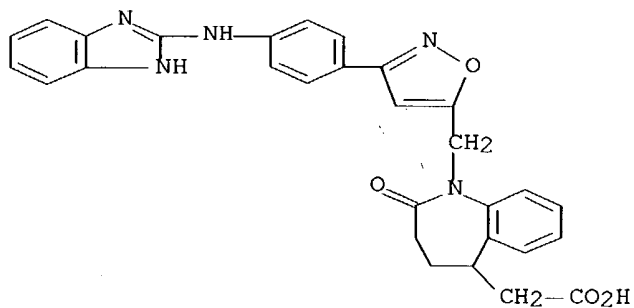
RN 570360-81-5 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[[3-[4-(1H-benzimidazol-2-ylamino)phenyl]-5-isoxazolyl]methyl]-2,3,4,5-tetrahydro-2-oxo-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 570360-80-4

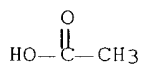
CMF C29 H25 N5 O4



CM 2

CRN 64-19-7

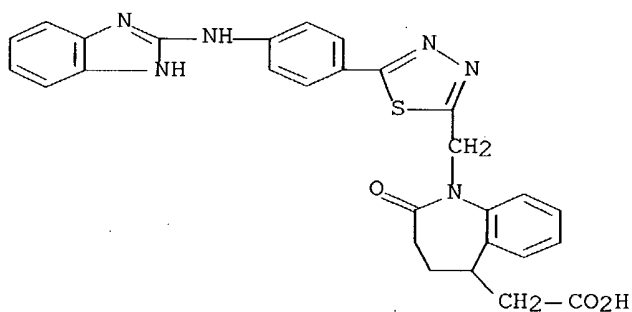
CMF C2 H4 O2



RN 570360-82-6 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[[5-[4-(1H-benzimidazol-2-

ylamino)phenyl]-1,3,4-thiadiazol-2-yl)methyl]-2,3,4,5-tetrahydro-2-oxo-
(9CI) (CA INDEX NAME)



RN 570360-87-1 CAPLUS

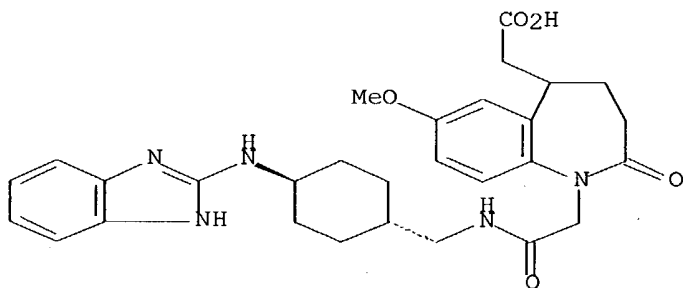
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-7-methoxy-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 570360-86-0

CMF C29 H35 N5 O5

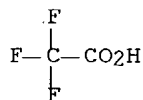
Relative stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 380395-63-1P 380396-14-5P 380396-42-9P
 380396-43-0P 380396-44-1P 380396-45-2P
 380396-46-3P 380396-52-1P 570360-70-2P
 570360-92-8P 570360-95-1P 570360-97-3P
 570360-98-4P 570360-99-5P 570361-00-1P
 648891-87-6P 648904-23-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

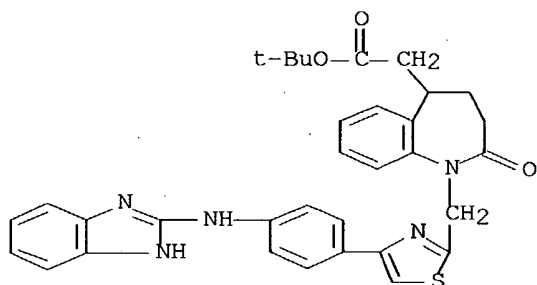
RACT

(Reactant or reagent)

(design and synthesis of 1,5- and 2,5-substituted
 tetrahydrobenzazepinones as novel potent and selective integrin
 $\alpha\text{V}\beta 3$ antagonists)

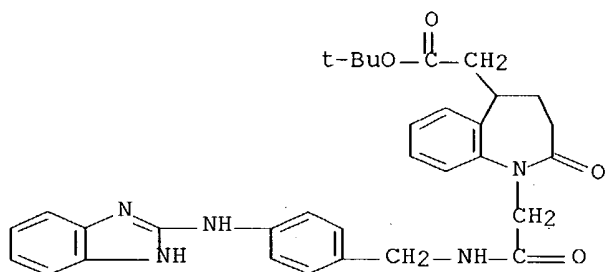
RN 380395-63-1 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[[4-[4-(1H-benzimidazol-2-ylamino)phenyl]-2-thiazolyl]methyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



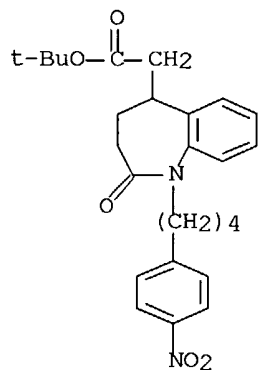
RN 380396-14-5 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



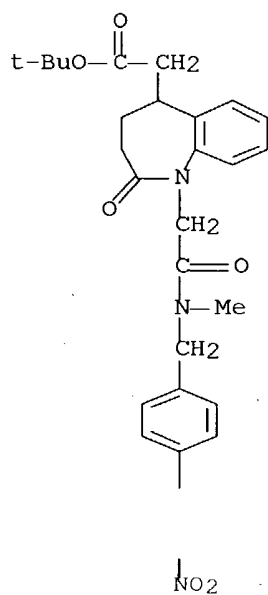
RN 380396-42-9 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-1-[4-(4-nitrophenyl)butyl]-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



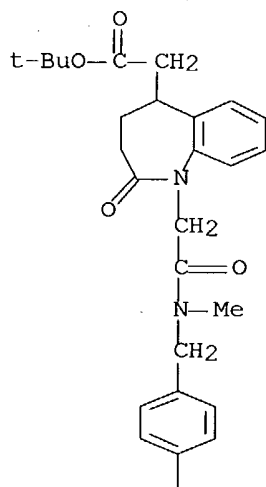
RN 380396-43-0 CAPLUS
 CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-1-[2-[methyl[(4-nitrophenyl)methyl]amino]-2-oxoethyl]-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

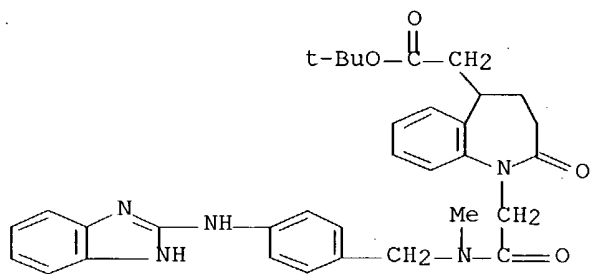


PAGE 2-A

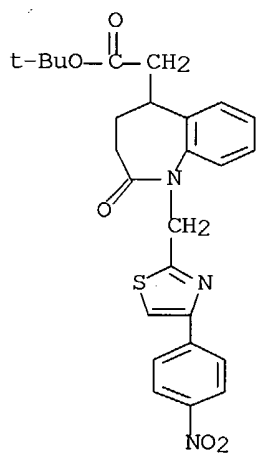
RN 380396-44-1 CAPLUS
 CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[(4-aminophenyl)methyl]methylamino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI)
 (CA INDEX NAME)



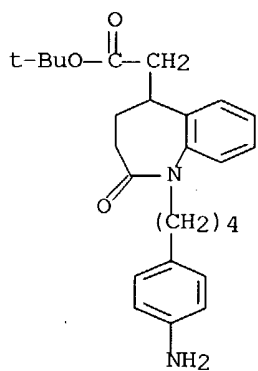
RN 380396-45-2 CAPLUS
 CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]methylamino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 380396-46-3 CAPLUS
 CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-1-[[[4-(4-nitrophenyl)-2-thiazolyl]methyl]-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

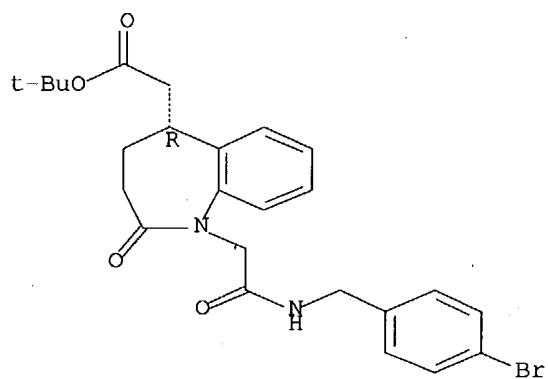


RN 380396-52-1 CAPLUS
 CN 1H-1-Benzazepine-5-acetic acid, 1-[4-(4-aminophenyl)butyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



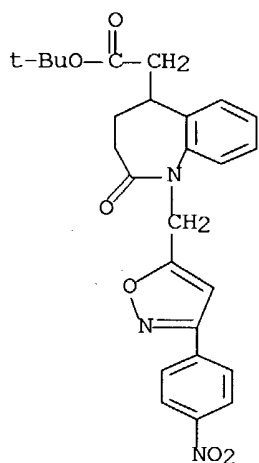
RN 570360-70-2 CAPLUS
 CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-bromophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester, (5R)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



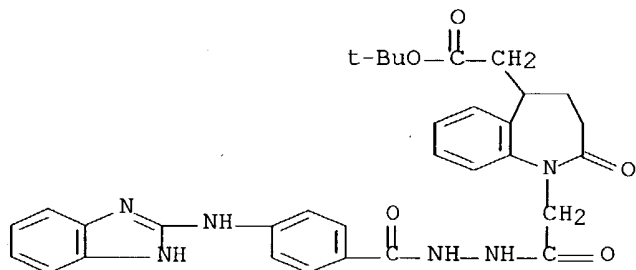
RN 570360-92-8 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-1-[[3-(4-nitrophenyl)-5-isoxazolyl]methyl]-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



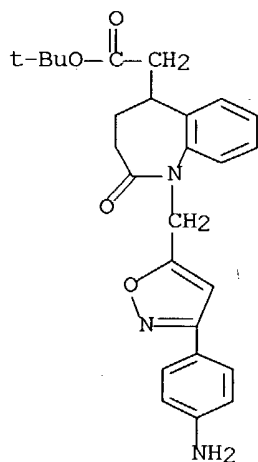
RN 570360-95-1 CAPLUS

CN 1H-1-Benzazepine-1,5-diacetic acid, 2,3,4,5-tetrahydro-2-oxo-, alpha5-(1,1-dimethylethyl) ester, alpha1-[2-[4-(1H-benzimidazol-2-ylamino)benzoyl]hydrazide] (9CI) (CA INDEX NAME)



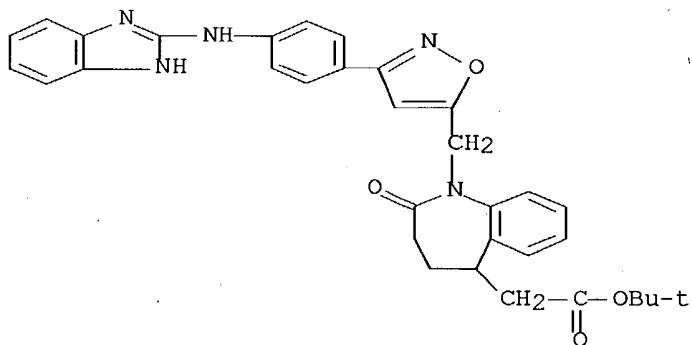
RN 570360-97-3 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[[3-(4-aminophenyl)-5-isoxazolyl]methyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



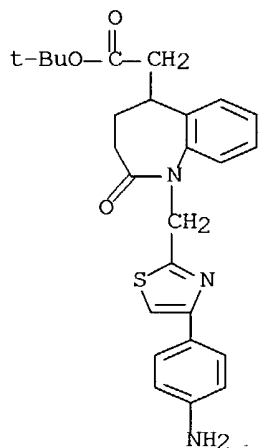
RN 570360-98-4 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[[3-[4-(1H-benzimidazol-2-ylamino)phenyl]-5-isoxazolyl]methyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



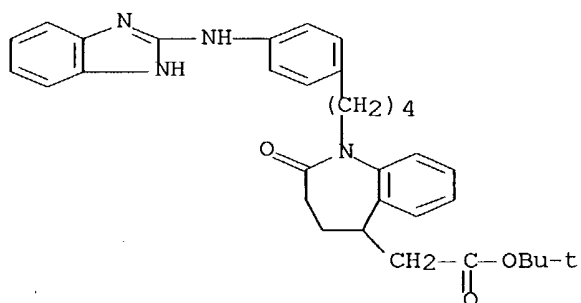
RN 570360-99-5 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[[4-(4-aminophenyl)-2-thiazolyl]methyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 570361-00-1 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[4-[4-(1H-benzimidazol-2-ylamino)phenyl]butyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 648891-87-6 CAPLUS

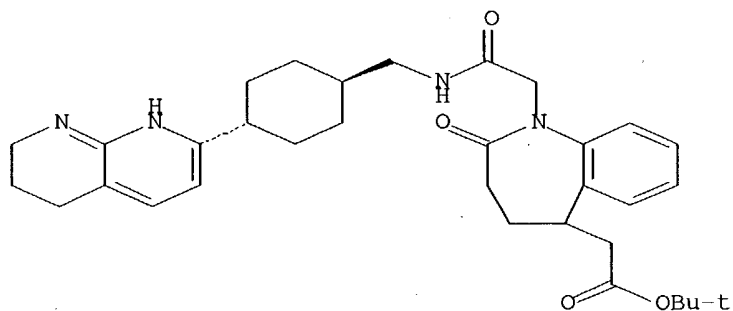
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-[[[trans-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)cyclohexyl]methyl]amino]ethyl]-, 1,1-dimethylethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 648891-86-5

CMF C33 H44 N4 O4

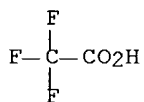
Relative stereochemistry.



CM 2

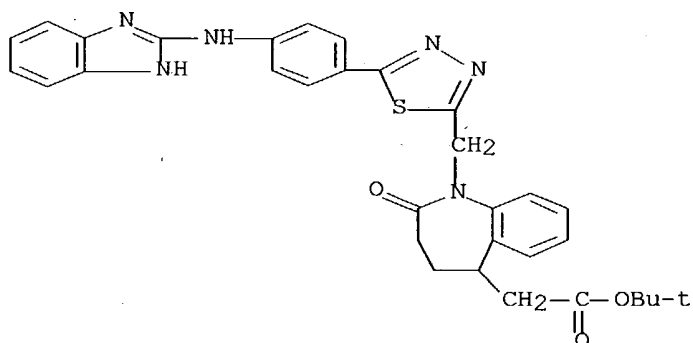
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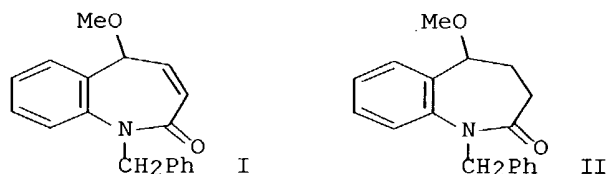
RN 648904-23-8 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[[5-[4-(1H-benzimidazol-2-ylamino)phenyl]-1,3,4-thiadiazol-2-yl]methyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RE.CNT 71 THERE ARE 71 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2002:622748 CAPLUS Full-text
 DN 138:55850
 TI A facile access to the 1,5-dihydro- and 1,3,4,5-tetrahydrobenz[b]azepin-2-one ring systems via a new ring enlargement
 AU Pauvert, Mickael; Dupont, Virginie; Guingant, Andre
 CS Laboratoire de Synthese Organique, Faculte des Sciences et des Techniques, Nantes, 44322, Fr.
 SO Synlett (2002), (8), 1350-1352
 CODEN: SYNLES; ISSN: 0936-5214
 PB Georg Thieme Verlag
 DT Journal
 LA English
 OS CASREACT 138:55850
 GI



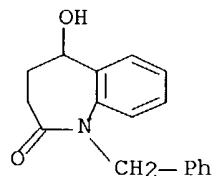
AB Synthesis of a 1,5-dihydrobenz[b]azepin-2-one (I) is reported. The key reaction is a silver nitrate-induced rearrangement of N-benzylquinolinium bromide. Its reduction leads to the 1,3,4,5-tetrahydrobenz[b]azepin-2-one ring system (II) found in several pharmacol. important compds.

IT **479543-81-2P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (1,5-dihydro- and 1,3,4,5-tetrahydrobenz[b]azepin-2-one ring systems via ring enlargement of quinolinium bromide by silver nitrate)

RN 479543-81-2 CAPLUS

CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5-hydroxy-1-(phenylmethyl)-(9CI) (CA INDEX NAME)

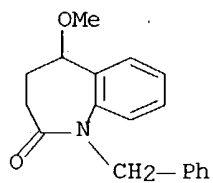


IT **479543-79-8P**

RL: SPN (Synthetic preparation); PREP (Preparation) (1,5-dihydro- and 1,3,4,5-tetrahydrobenz[b]azepin-2-one ring systems via ring enlargement of quinolinium bromide by silver nitrate)

RN 479543-79-8 CAPLUS

CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5-methoxy-1-(phenylmethyl)-(9CI) (CA INDEX NAME)



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:903802 CAPLUS Full-text

DN 136:37604

TI Preparation of azolylazepinyllacetates as ligands of integrin receptors.

IN Geneste, Herve; Kling, Andreas; Lange, Udo; Seitz, Werner; Graef, Claudia Isabella; Subkowski, Thomas; Hornberger, Wilfried; Lauterbach, Arnulf

PA BASF AG, Germany

SO PCT Int. Appl., 187 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001093840	A2	20011213	WO 2001-EP6397	20010606
	WO 2001093840	A3	20020808		
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	DE 10027514	A1	20020103	DE 2000-10027514	20000606
	CA 2411549	AA	20021205	CA 2001-2411549	20010606
	EP 1286673	A2	20030305	EP 2001-945258	20010606
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	JP 2004501120	T2	20040115	JP 2002-501413	20010606
PRAI	DE 2000-10027514	A	20000606		
	WO 2001-EP6397	W	20010606		

OS MARPAT 136:37604

AB Use of BGL [L = UT; T = CO₂H, group hydrolyzable to CO₂H, or a CO₂H bioisostere; U = Xa(CR₁R₂)b, CR₁:CR₂, C.tplbond.C, CR₁; X = CR₃R₄, imino, O, S; a = 0, 1; b = 0-2; R₁-R₄ = H, T, OH, amino, CONH₂, halo, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, etc.; G = specified heterocyclylene; B = structural element containing ≥1 atom which under physiol. conditions can undergo hydrogen bridge bonding] as integrin receptor ligands is claimed (no data). Thus, [5-(2-tert-butoxy-2-oxoethyl)-2-oxo-2,3,4,5-tetrahydro-1H-benzazepin-1-yl]acetic acid (preparation given) and N-[5-(aminomethyl)thiazol-2-yl]guanidine dihydrochloride (preparation given) in DMF at 0° were treated with N-methylmorpholine and TOTU to give 65% tert-Bu [1-[2-[[[2-[[amino(imino)methyl]amino]thiazol-5-yl]methyl]amino]-2-oxoethyl]-2-oxo-2,3,4,5-tetrahydro-1H-benzazepin-5-yl]acetate. Drug preps. containing BGL and numerous other drug classes, e.g. blood platelet adhesion, activation, and aggregation inhibitors, are also claimed.

IT 380395-14-2P 380395-17-5P 380395-18-6P
380395-19-7P 380395-20-0P 380395-21-1P
380395-22-2P 380395-23-3P 380395-24-4P
380395-25-5P 380395-26-6P 380395-27-7P
380395-28-8P 380395-29-9P 380395-30-2P
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380395-37-9P 380395-39-1P 380395-40-4P

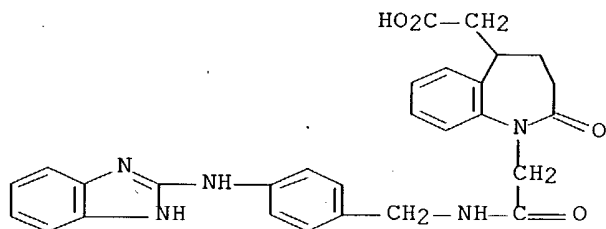
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 380397-19-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of azolyazepinyllacetates as ligands of integrin
 receptors)

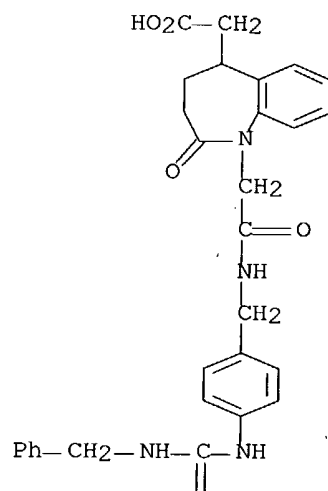
RN 380395-14-2 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-
 ylamino)phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI)
 (CA INDEX NAME)

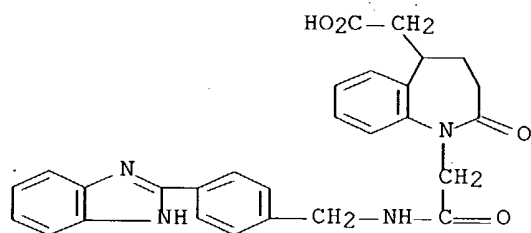


RN 380395-17-5 CAPLUS

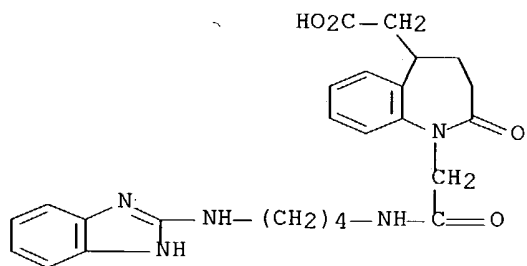
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-
 [[4-
 [[[(phenylmethyl)amino]carbonyl]amino]phenyl]methyl]amino]ethyl]- (9CI)
 (CA INDEX NAME)



RN 380395-18-6 CAPLUS
 CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-yl)phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

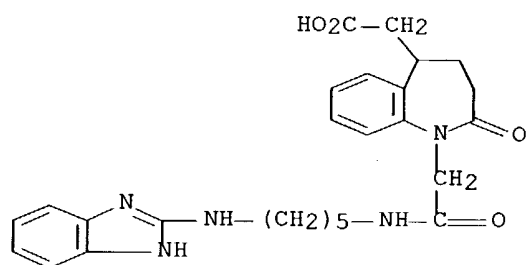


RN 380395-19-7 CAPLUS
 CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)butyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



RN 380395-20-0 CAPLUS

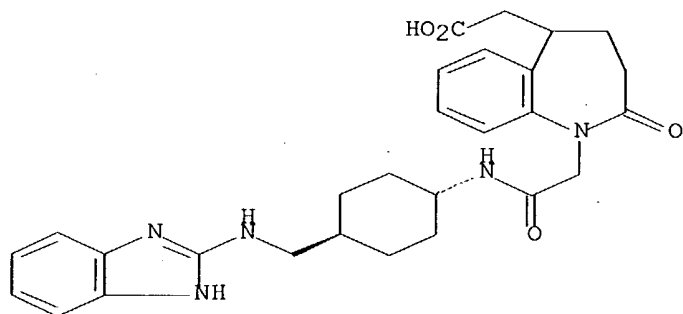
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[5-(1H-benzimidazol-2-ylamino)pentyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



RN 380395-21-1 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[trans-4-[(1H-benzimidazol-2-ylamino)methyl]cyclohexyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

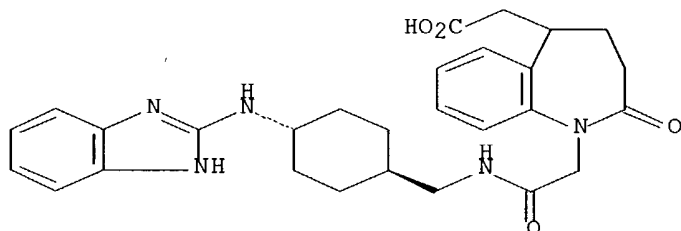
Relative stereochemistry.



RN 380395-22-2 CAPLUS

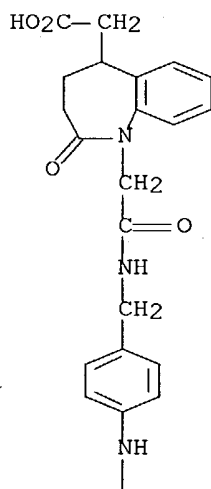
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 380395-23-3 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-[[[4-(2-pyridinylamino)phenyl)methyl]amino]ethyl]- (9CI) (CA INDEX NAME)

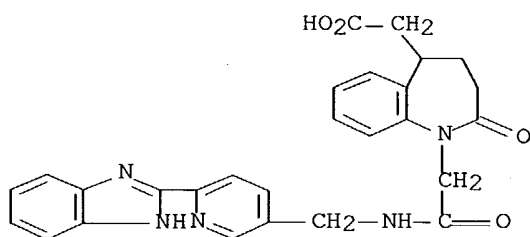


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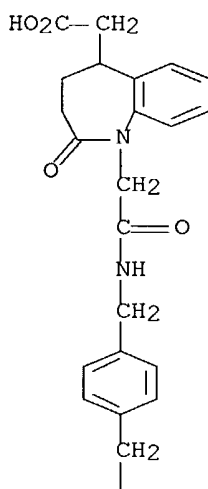


RN 380395-24-4 CAPLUS
 CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[6-(1H-benzimidazol-2-yl)-3-pyridinyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, dihydrochloride (9CI) (CA INDEX NAME)

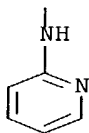


●2 HCl

RN 380395-25-5 CAPLUS
 CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-[[[4-[(2-pyridinylamino)methyl]phenyl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)

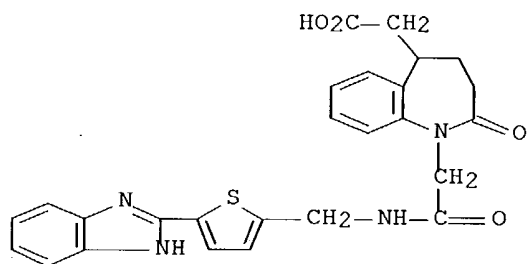


PAGE 1-A



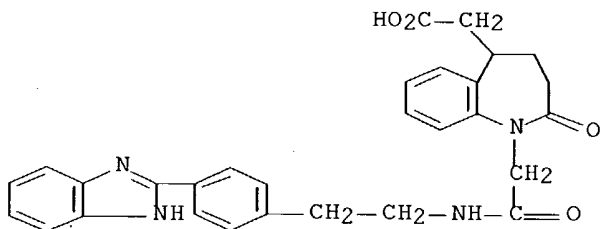
RN 380395-26-6 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[5-(1H-benzimidazol-2-yl)-2-thienyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



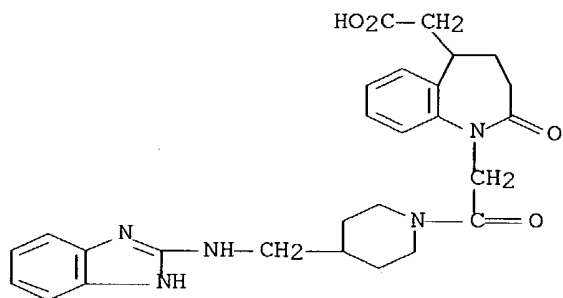
RN 380395-27-7 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[2-[4-(1H-benzimidazol-2-yl)phenyl]ethyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



RN 380395-28-8 CAPLUS

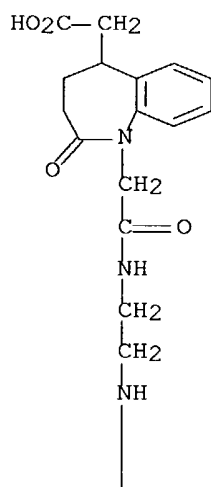
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[4-[(1H-benzimidazol-2-ylamino)methyl]-1-piperidinyl]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI)
(CA INDEX NAME)



RN 380395-29-9 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-[[2-(2-pyridinylamino)ethyl]amino]ethyl]- (9CI) (CA INDEX NAME)

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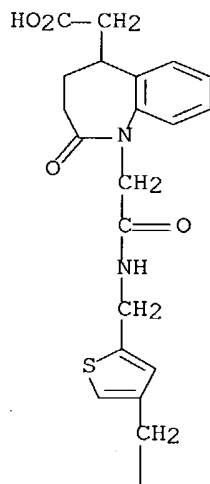


RN 380395-30-2 CAPLUS

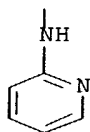
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-[[[4-

[(2-pyridinylamino)methyl]-2-thienyl)methyl]amino]ethyl]- (9CI) (CA
INDEX
NAME)

PAGE 1-A

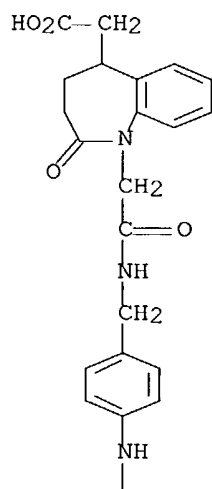


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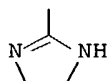


RN 380395-32-4 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-[(4,5-dihydro-1H-imidazol-2-yl)amino]phenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-
(9CI)
(CA INDEX NAME)

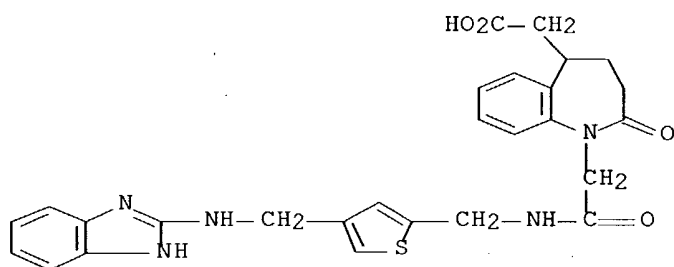
PAGE 1-A



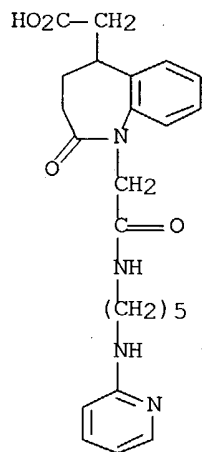
PAGE 2-A



RN 380395-33-5 CAPLUS
 CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-[(1H-benzimidazol-2-ylamino)methyl]-2-thienyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



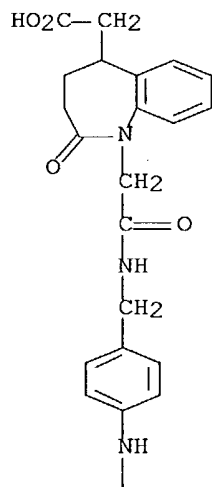
RN 380395-34-6 CAPLUS
 CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-[[5-(2-pyridinylamino)pentyl]amino]ethyl]- (9CI) (CA INDEX NAME)



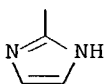
RN 380395-37-9 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-1-[2-[[[4-(1H-imidazol-2-ylamino)phenyl]methyl]amino]-2-oxoethyl]-2-oxo- (9CI) (CA INDEX NAME)

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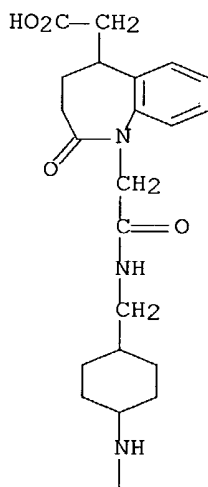


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RN 380395-39-1 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-
[[[4-(2-pyridinylamino)cyclohexyl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)



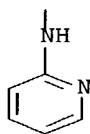
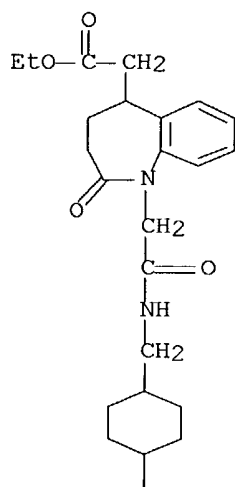
PAGE 1-A



PAGE 2-A

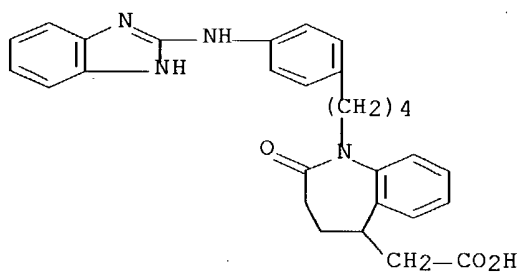
RN 380395-40-4 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-
[[[4-(2-pyridinylamino)cyclohexyl]methyl]amino]ethyl]-, ethyl ester (9CI)
(CA INDEX NAME)



RN 380395-41-5 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[4-[4-(1H-benzimidazol-2-ylamino)phenyl]butyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



RN 380395-43-7 CAPLUS

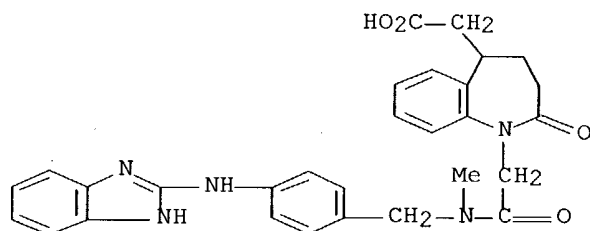
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]methylamino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-

mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380395-42-6

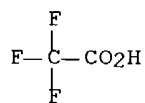
CMF C29 H29 N5 O4



CM 2

CRN 76-05-1

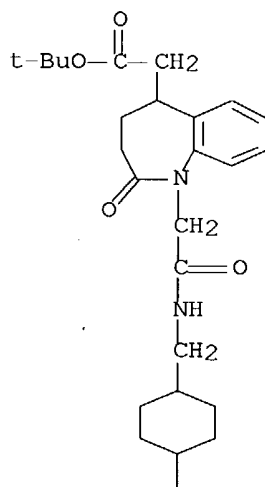
CMF C2 H F3 O2



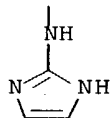
RN 380395-52-8 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-1-[2-[[[4-(1H-imidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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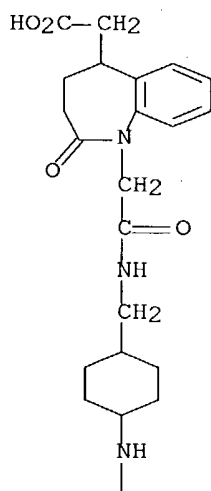
PAGE 2-A



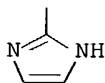
RN 380395-53-9 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-1-[2-[[[4-(1H-imidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2-oxo- (9CI) (CA INDEX NAME)

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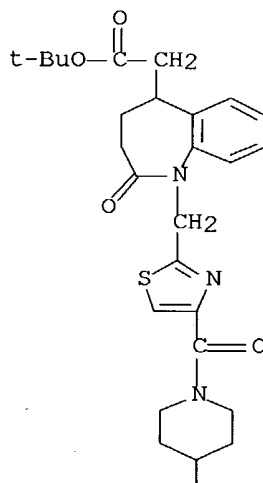
PAGE 2-A



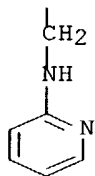
RN 380395-54-0 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[[4-[[4-[(2-pyridinylamino)methyl]-1-piperidinyl]carbonyl]-2-thiazolyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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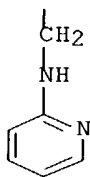
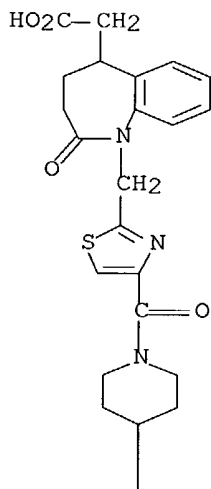


RN 380395-55-1 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[[4-[[4-[(2-pyridinylamino)methyl]-1-piperidinyl]carbonyl]-2-thiazolyl]methyl]-

(9CI)

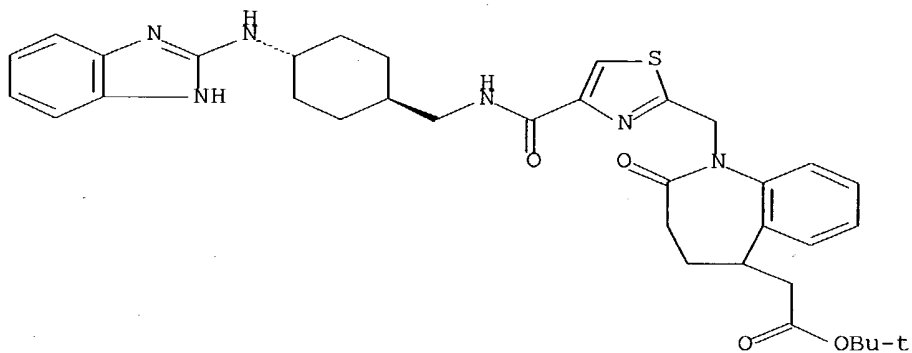
(CA INDEX NAME)



RN 380395-56-2 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[[4-[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]carbonyl]-2-thiazolyl]methyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

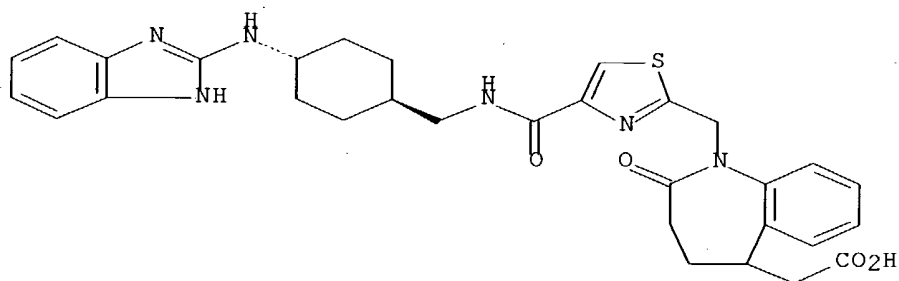
Relative stereochemistry.



RN 380395-57-3 CAPLUS

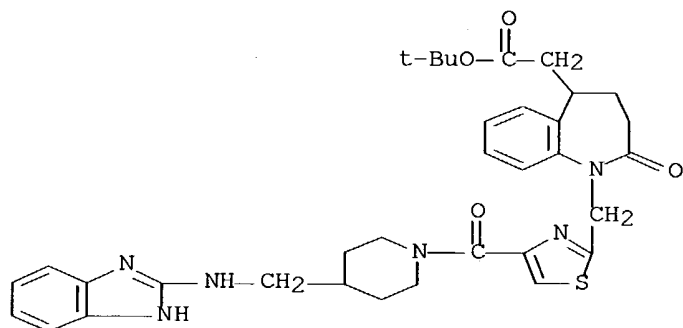
CN 1H-1-Benzazepine-5-acetic acid, 1-[[4-[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]carbonyl]-2-thiazolyl]methyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



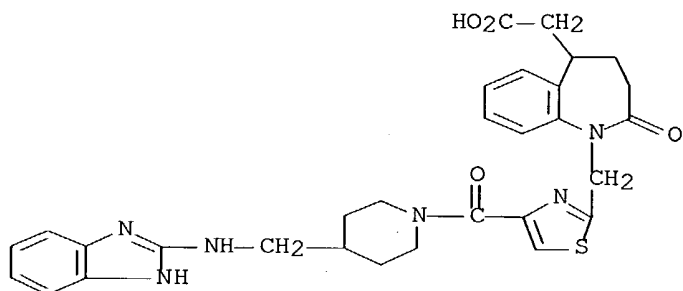
RN 380395-58-4 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[[4-[[4-[(1H-benzimidazol-2-ylamino)methyl]-1-piperidinyll]carbonyl]-2-thiazolyl]methyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 380395-59-5 CAPLUS

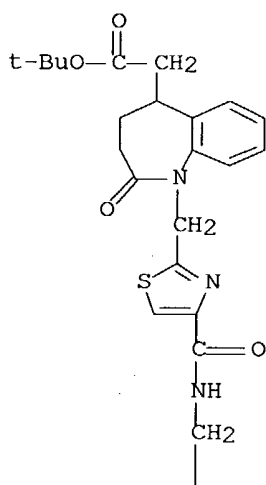
CN 1H-1-Benzazepine-5-acetic acid, 1-[[4-[[4-[(1H-benzimidazol-2-ylamino)methyl]-1-piperidinyll]carbonyl]-2-thiazolyl]methyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



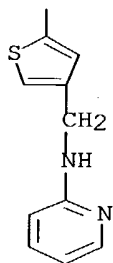
RN 380395-60-8 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[[4-[[[4-[(2-pyridinylamino)methyl]-2-thienyl)methyl]amino]carbonyl]-2-thiazolyl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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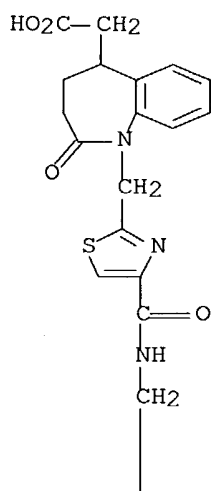
PAGE 2-A



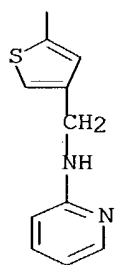
RN 380395-61-9 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[[4-[[[4-[(2-pyridinylamino)methyl]-2-thienyl)methyl]amino]carbonyl]-2-thiazolyl)methyl]- (9CI) (CA INDEX NAME)

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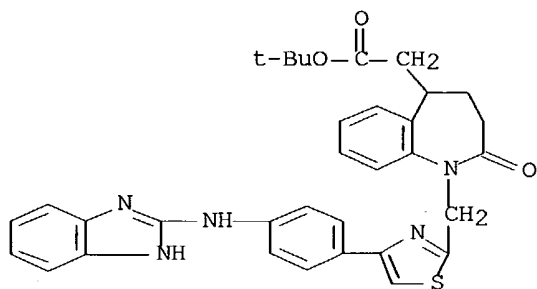


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RN 380395-63-1 CAPLUS

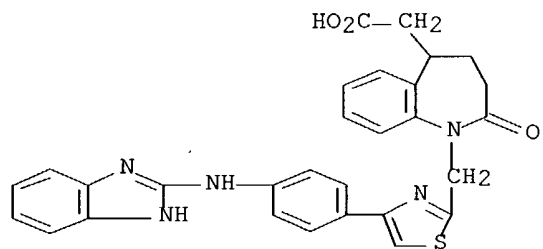
CN 1H-1-Benzazepine-5-acetic acid, 1-[[4-[4-(1H-benzimidazol-2-ylamino)phenyl]-2-thiazolyl]methyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 380395-64-2 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[[4-[4-(1H-benzimidazol-2-

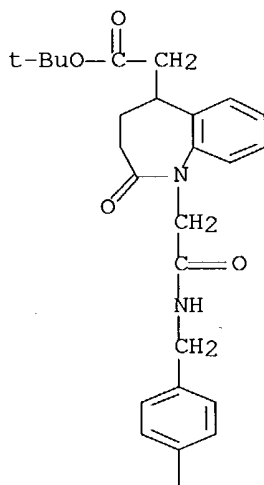
ylamino)phenyl]-2-thiazolyl)methyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



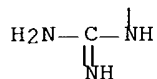
RN 380395-65-3 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-[(aminoiminomethyl)amino]phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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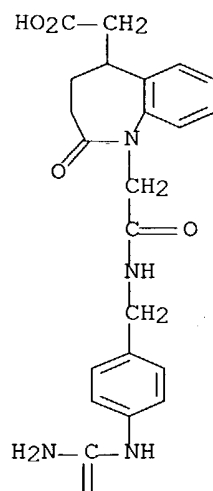


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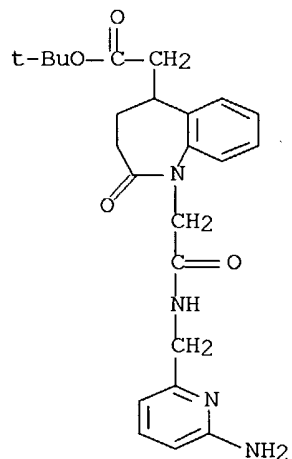


RN 380395-66-4 CAPLUS

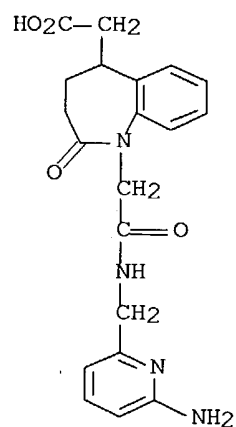
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-[(aminoiminomethyl)amino]phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



RN 380395-67-5 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[6-amino-2-pyridinyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI)
(CA INDEX NAME)

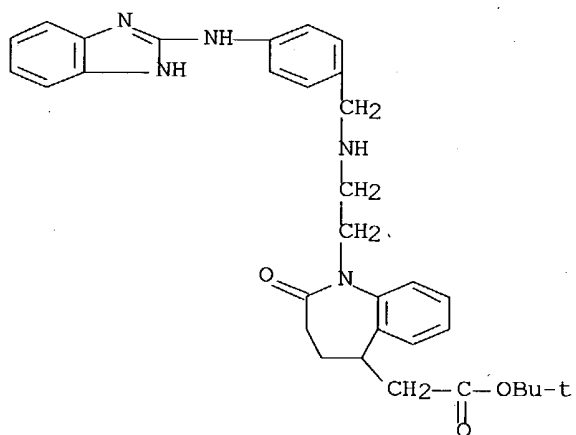


RN 380395-68-6 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[(6-amino-2-pyridinyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



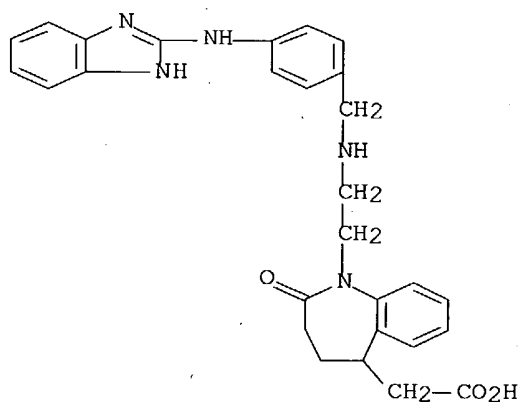
RN 380395-69-7 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]ethyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 380395-70-0 CAPLUS

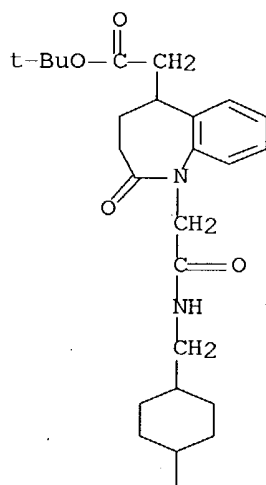
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]ethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



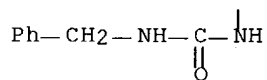
RN 380395-71-1 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-
 [[4-
 [[[(phenylmethyl)amino]carbonyl]amino]cyclohexyl]methyl]amino]ethyl]-,
 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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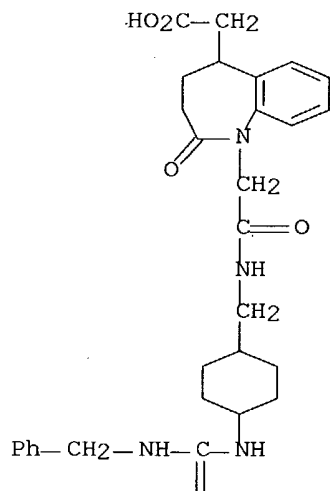


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RN 380395-72-2 CAPLUS

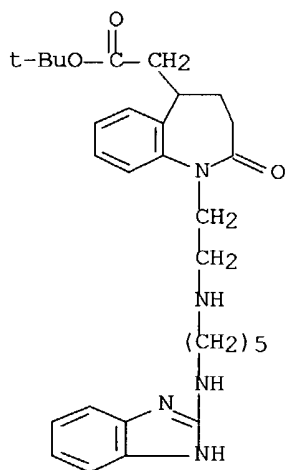
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-
 [[4-
 [[[(phenylmethyl)amino]carbonyl]amino]cyclohexyl]methyl]amino]ethyl]-
 (9CI) (CA INDEX NAME)



U

RN 380395-73-3 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[5-(1H-benzimidazol-2-ylamino)pentyl]amino]ethyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



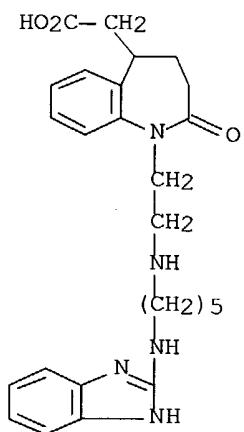
RN 380395-75-5 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[5-(1H-benzimidazol-2-ylamino)pentyl]amino]ethyl]-2,3,4,5-tetrahydro-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380395-74-4

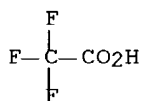
CMF C26 H33 N5 O3



CM 2

CRN 76-05-1

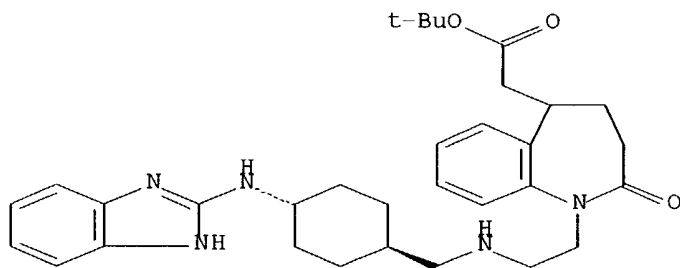
CMF C2 H F3 O2



RN 380395-76-6 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]ethyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 380395-78-8 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-

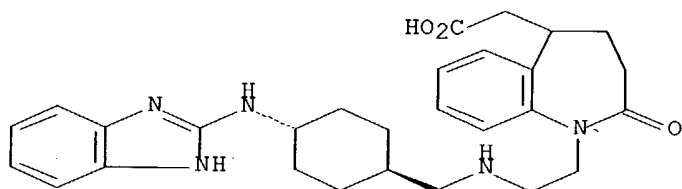
ylamino)cyclohexyl)methyl]amino]ethyl]-2,3,4,5-tetrahydro-2-oxo-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380395-77-7

CMF C28 H35 N5 O3

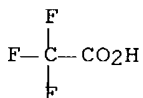
Relative stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



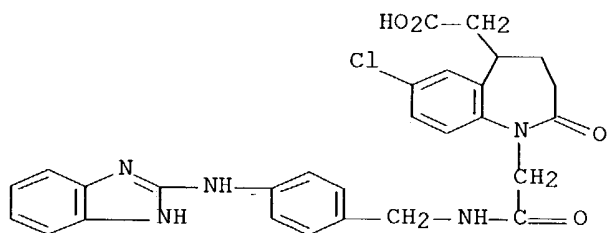
RN 380395-80-2 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]-2-oxoethyl]-7-chloro-2,3,4,5-tetrahydro-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380395-79-9

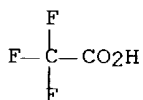
CMF C28 H26 Cl N5 O4



CM 2

CRN 76-05-1

CMF C2 H F3 O2



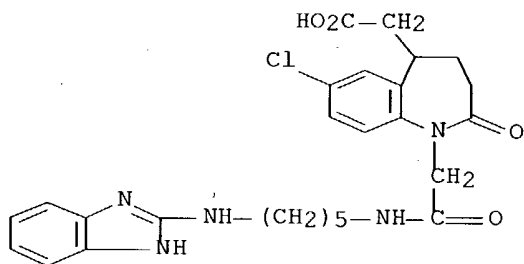
RN 380395-82-4 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[5-(1H-benzimidazol-2-ylamino)pentyl]amino]-2-oxoethyl]-7-chloro-2,3,4,5-tetrahydro-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380395-81-3

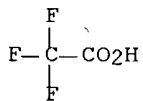
CMF C26 H30 Cl N5 O4



CM 2

CRN 76-05-1

CMF C2 H F3 O2



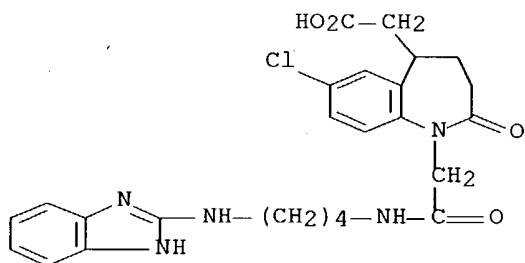
RN 380395-84-6 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[4-(1H-benzimidazol-2-ylamino)butyl]amino]-2-oxoethyl]-7-chloro-2,3,4,5-tetrahydro-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380395-83-5

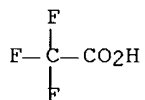
CMF C25 H28 Cl N5 O4



CM 2

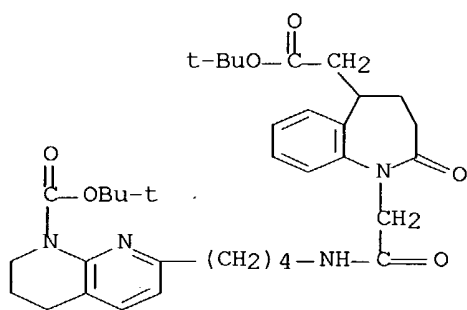
CRN 76-05-1

CMF C2 H F3 O2



RN 380395-87-9 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[4-[8-[(1,1-dimethylethoxy)carbonyl]-5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl]butyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



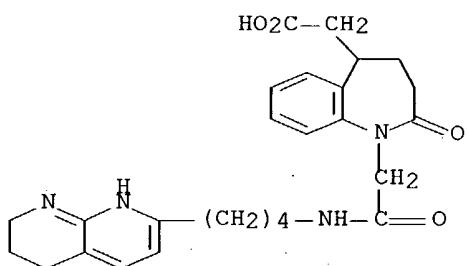
RN 380395-89-1 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-[[4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]amino]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380395-88-0

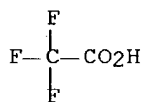
CMF C26 H32 N4 O4



CM 2

CRN 76-05-1

CMF C2 H F3 O2

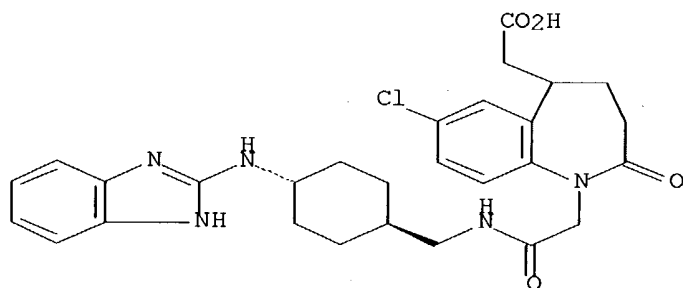


RN 380395-91-5 CAPLUS
 CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-7-chloro-2,3,4,5-tetrahydro-2-oxo-, monoacetate (9CI) (CA INDEX NAME)

CM 1

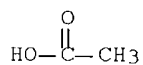
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 CMF C28 H32 Cl N5 O4

Relative stereochemistry.



CM 2

CRN 64-19-7
 CMF C2 H4 O2

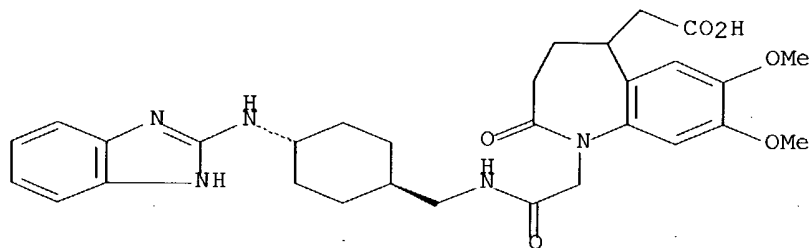


RN 380395-94-8 CAPLUS
 CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-7,8-dimethoxy-2-oxo-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 380395-93-7
 CMF C30 H37 N5 O6

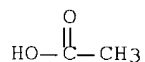
Relative stereochemistry.



CM 2

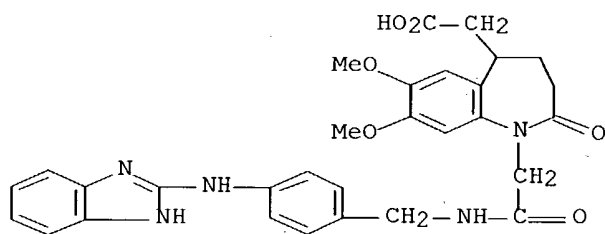
CRN 64-19-7

CMF C2 H4 O2



RN 380395-95-9 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-7,8-dimethoxy-2-oxo- (9CI) (CA INDEX NAME)



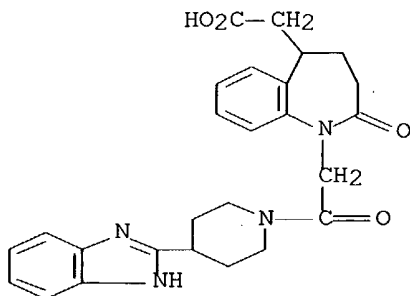
RN 380396-06-5 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[4-(1H-benzimidazol-2-yl)-1-piperidinyl]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, acetate (9CI) (CA INDEX NAME)

CM 1

CRN 380396-05-4

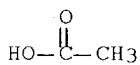
CMF C26 H28 N4 O4



CM 2

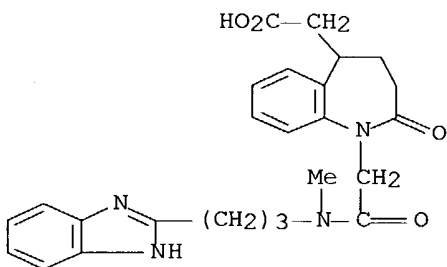
CRN 64-19-7

CMF C2 H4 O2



RN 380396-07-6 CAPLUS

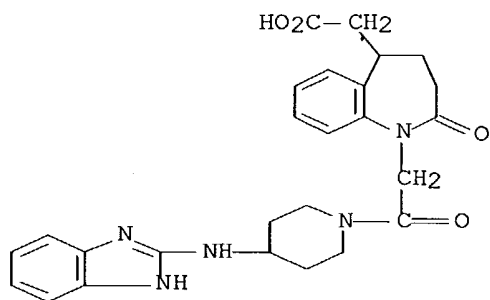
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[3-(1H-benzimidazol-2-yl)propyl]methylamino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

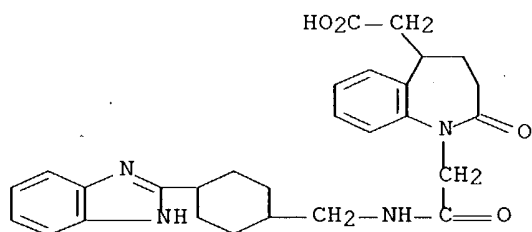
RN 380396-08-7 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[4-(1H-benzimidazol-2-ylamino)-1-piperidiny]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



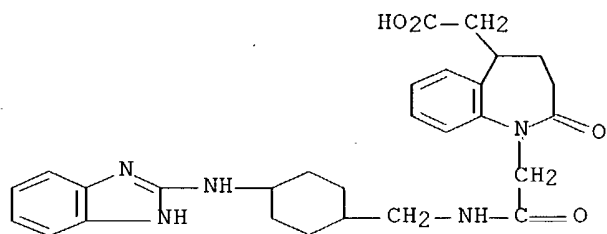
RN 380396-09-8 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-yl)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI)
(CA INDEX NAME)



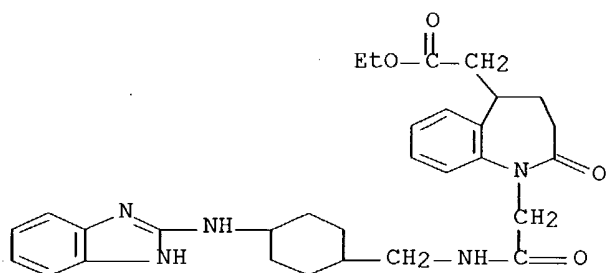
RN 380396-10-1 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



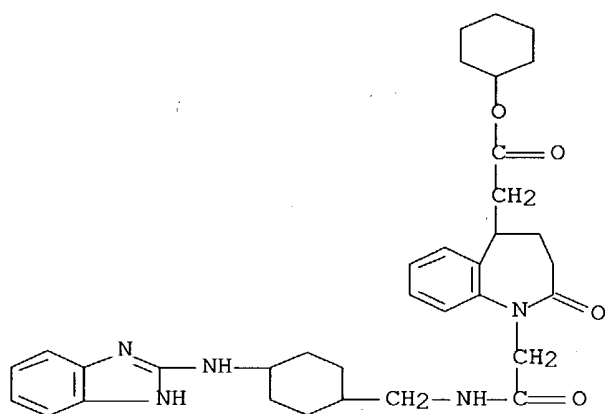
RN 380396-11-2 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



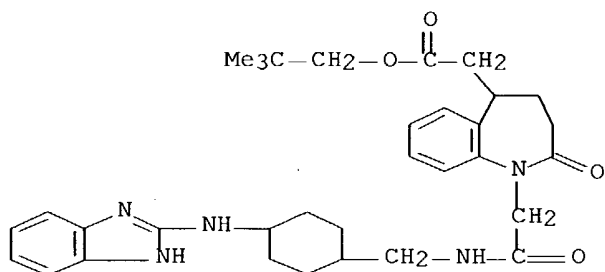
RN 380396-12-3 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, cyclohexyl ester (9CI) (CA INDEX NAME)



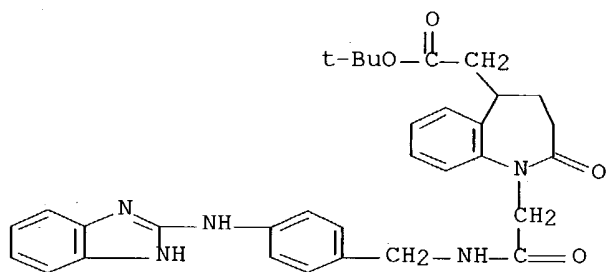
RN 380396-13-4 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 2,2-dimethylpropyl ester (9CI) (CA INDEX NAME)



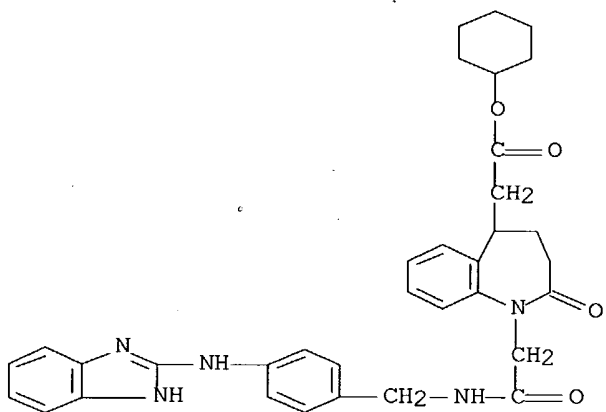
RN 380396-14-5 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



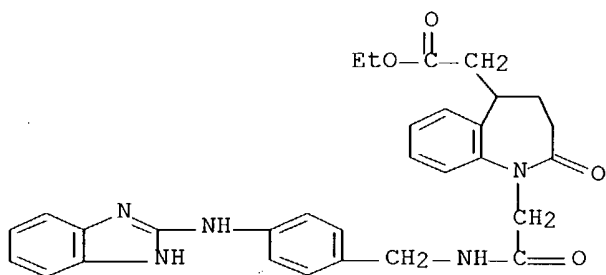
RN 380396-15-6 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, cyclohexyl ester (9CI) (CA INDEX NAME)



RN 380396-16-7 CAPLUS

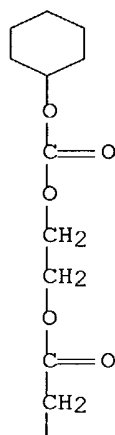
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



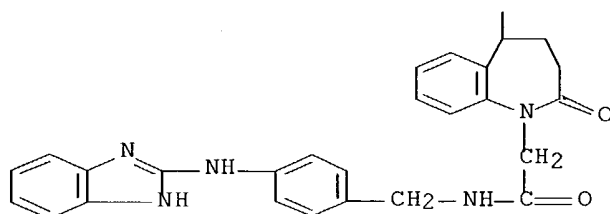
RN 380396-17-8 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 2-[[[(cyclohexyloxy)carbonyl]oxy]ethyl] ester (9CI) (CA INDEX NAME)

PAGE 1-A



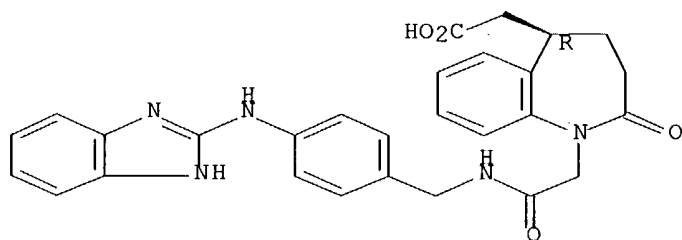
PAGE 2-A



RN 380396-18-9 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, (5R)-(9CI) (CA INDEX NAME)

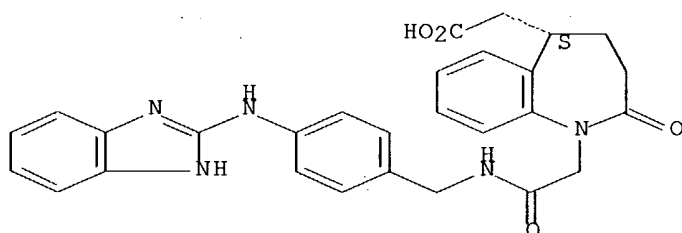
Absolute stereochemistry. Rotation (-).



RN 380396-19-0 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-,
(5S)-
(9CI) (CA INDEX NAME)

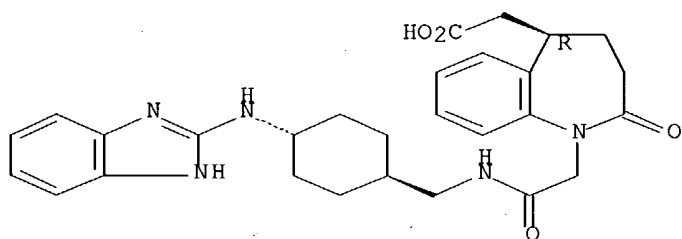
Absolute stereochemistry. Rotation (+).



RN 380396-20-3 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-,
(5R)- (9CI) (CA INDEX NAME)

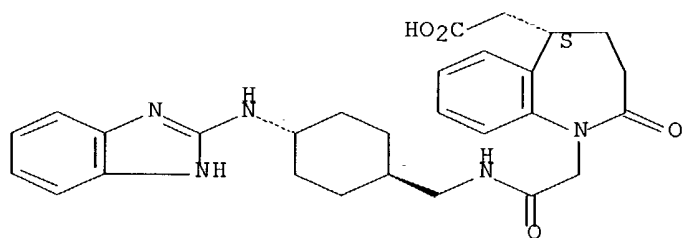
Absolute stereochemistry. Rotation (-).



RN 380396-21-4 CAPLUS

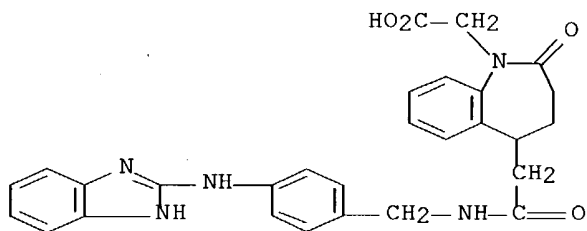
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-,
(5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



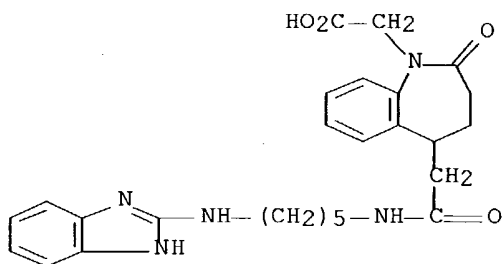
RN 380397-18-2 CAPLUS

CN 1H-1-Benzazepine-1-acetic acid, 5-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI)
(CA INDEX NAME)



RN 380397-19-3 CAPLUS

CN 1H-1-Benzazepine-1-acetic acid, 5-[2-[[[5-(1H-benzimidazol-2-ylamino)pentyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



IT 380396-42-9P 380396-43-0P 380396-44-1P

380396-45-2P 380396-46-3P 380396-52-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

RACT

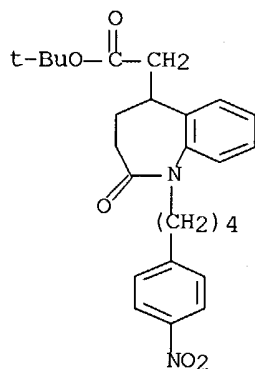
(Reactant or reagent)

(preparation of azolylazepinylacetates as ligands of integrin)

receptors)

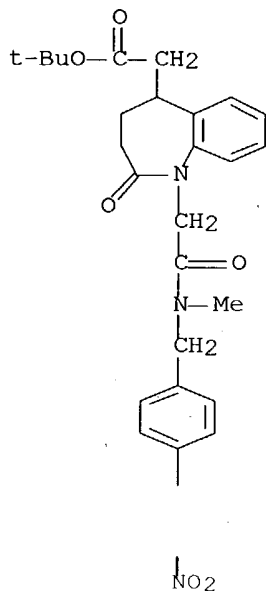
RN 380396-42-9 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-1-[4-(4-nitrophenyl)butyl]-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 380396-43-0 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-1-[2-[methyl[(4-nitrophenyl)methyl]amino]-2-oxoethyl]-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



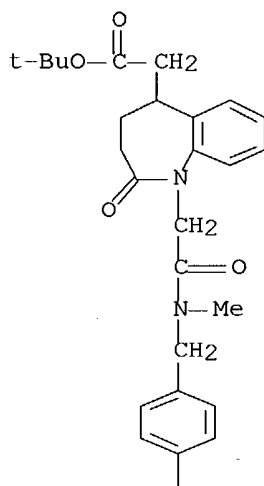
PAGE 1-A

PAGE 2-A

RN 380396-44-1 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-aminophenyl)methyl]methylamino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

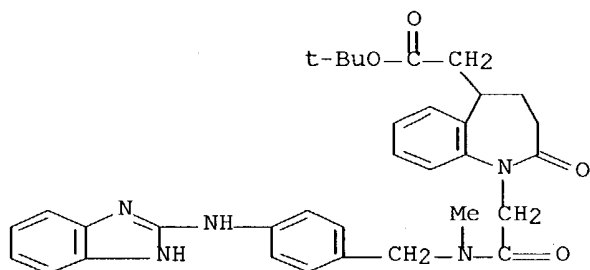
PAGE 1-A



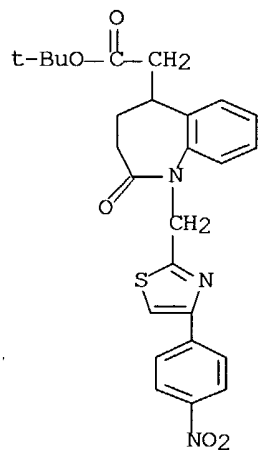
PAGE 2-A

NH₂

RN 380396-45-2 CAPLUS
 CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]methylamino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

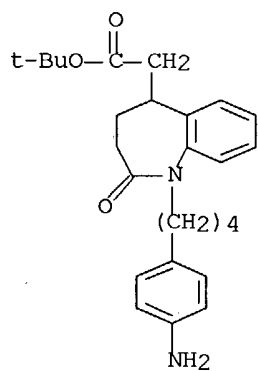


RN 380396-46-3 CAPLUS
 CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-1-[[4-(4-nitrophenyl)-2-thiazolyl]methyl]-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

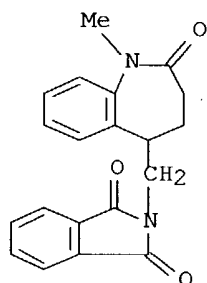


RN 380396-52-1 CAPLUS

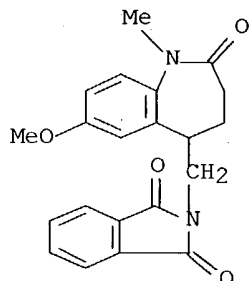
CN 1H-1-Benzazepine-5-acetic acid, 1-[4-(4-aminophenyl)butyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L10 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2000:145761 CAPLUS Full-text
 DN 132:293604
 TI An expedient construction of seven-membered rings adjoining aromatic systems
 AU Kaoudi, Talbi; Quiclet-Sire, Beatrice; Seguin, Stephanie; Zard, Samir Z.
 CS Institut de Chimie des Substances Naturelles, Gif-Sur-Yvette, 91198, Fr.
 SO Angewandte Chemie, International Edition (2000), 39(4), 731-733
 CODEN: ACIEF5; ISSN: 1433-7851
 PB Wiley-VCH Verlag GmbH
 DT Journal
 LA English
 OS CASREACT 132:293604
 AB A flexible, convergent route to complex aromatic structures containing an adjoining seven-membered ring was described. For example, the lauroyl peroxide-induced radical cyclization of a xanthate, i.e., carbonodithioic acid S-[2-[[2-(3,4-dimethoxyphenyl)ethyl](2-phenylethyl)amino]-2-oxoethyl] O-Et ester, gave 1,3,4,5-tetrahydro-7,8-dimethoxy-3-(2-phenylethyl)-2H-3-benzazepin-2-one.
 IT **264877-42-1P 264877-43-2P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of seven-membered rings adjoining aromatic systems via radical cyclization of xanthate precursors)
 RN 264877-42-1 CAPLUS
 CN 1H-Isoindole-1,3(2H)-dione, 2-[(2,3,4,5-tetrahydro-1-methyl-2-oxo-1H-1-benzazepin-5-yl)methyl]- (9CI) (CA INDEX NAME)



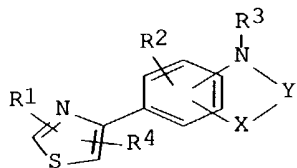
RN 264877-43-2 CAPLUS
 CN 1H-Isoindole-1,3(2H)-dione, 2-[(2,3,4,5-tetrahydro-7-methoxy-1-methyl-2-oxo-1H-1-benzazepin-5-yl)methyl]- (9CI) (CA INDEX NAME)



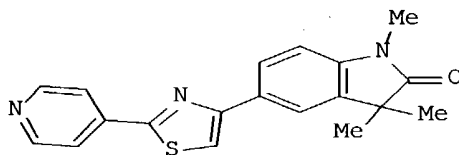
RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1999:222934 CAPLUS Full-text
 DN 130:252351
 TI Preparation of thiazoles as antiinflammatories
 IN Tsuji, Kiyoshi; Tabuchi, Seiichiro; Eikyu, Yoshiteru; Tojo, Takashi
 PA Fujisawa Pharmaceutical Co., Ltd., Japan
 SO PCT Int. Appl., 147 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9915524	A1	19990401	WO 1998-JP4275	19980922
	W: AU, BR, CA, CN, HU, JP, KR, MX, RU, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9890966	A1	19990412	AU 1998-90966	19980922
	JP 2001517666	T2	20011009	JP 2000-512829	19980922
PRAI	AU 1997-9367	A	19970923		
	AU 1998-3591	A	19980519		
	WO 1998-JP4275	W	19980922		
OS	MARPAT 130:252351				
GI					



I



II

AB The title compds. [I; R1 = NH₂, alkylamino, (un)substituted heterocyclic ring containing nitrogen, etc.; R2 = H, OH, alkyl, alkoxy; R3 = H, (un)substituted alkyl, acyl, cycloalkyl; R2 and R3 may be linked together to form lower alkylene; R4 = H, alkyl, halo, alkylthio; X = (un)substituted alkylene, cycloalkylidene, CO, S; Y = (un)substituted alkylene; X and Y may be linked together to form alkenylene; X and N are resp. bonded to the adjoining carbon atoms on the benzene ring], useful in the treatment of inflammatory conditions, autoimmune diseases, INF- γ mediated diseases and TNF-mediated diseases, were prepared. Thus, treatment of a mixture of 5-[2-(4-pyridyl)thiazol-4-yl]oxindole and NaH in DMF with MeI afforded II which showed 91.6% inhibition of Con A-induced hepatitis in mice at 32 mg/kg.

IT **221691-91-4P**

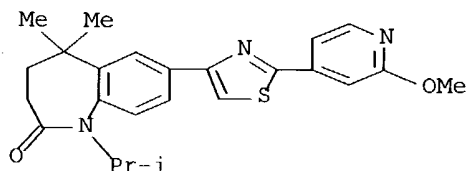
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of thiazoles as antiinflammatories)

RN 221691-91-4 CAPLUS

CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-7-[2-(2-methoxy-4-pyridinyl)-
 4-thiazolyl]-5,5-dimethyl-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

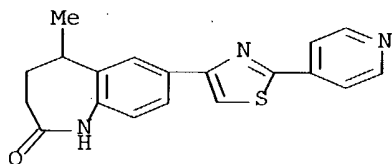


IT 221691-01-6P 221691-02-7P 221691-15-2P
 221691-16-3P 221691-88-9P 221691-89-0P
 221691-90-3P 221691-92-5P 221691-93-6P
 221691-94-7P 221691-95-8P 221691-96-9P
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 221692-08-6P 221692-09-7P 221692-10-0P
 221692-11-1P 221692-12-2P 221692-13-3P
 221692-14-4P 221692-15-5P 221692-19-9P
 221692-24-6P

RL: BAC (Biological activity or effector, except adverse); BSU
 (Biological study, unclassified); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses) (preparation of thiazoles as antiinflammatories)

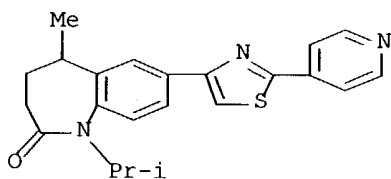
RN 221691-01-6 CAPLUS

CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5-methyl-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



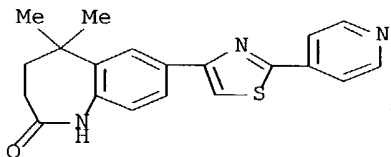
RN 221691-02-7 CAPLUS

CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5-methyl-1-(1-methylethyl)-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



RN 221691-15-2 CAPLUS

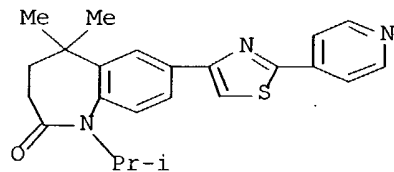
CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5,5-dimethyl-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



RN 221691-16-3 CAPLUS

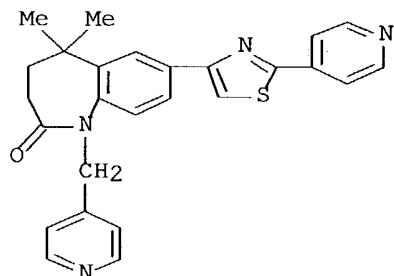
CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5,5-dimethyl-1-(1

methylethyl)-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



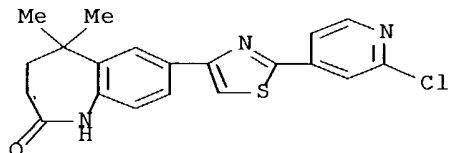
RN 221691-88-9 CAPLUS

CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5,5-dimethyl-1-(4-pyridinylmethyl)-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



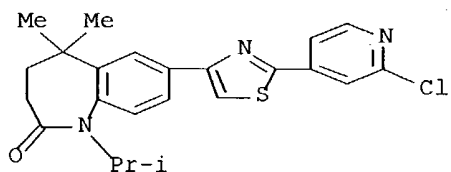
RN 221691-89-0 CAPLUS

CN 2H-1-Benzazepin-2-one, 7-[2-(2-chloro-4-pyridinyl)-4-thiazolyl]-1,3,4,5-tetrahydro-5,5-dimethyl- (9CI) (CA INDEX NAME)



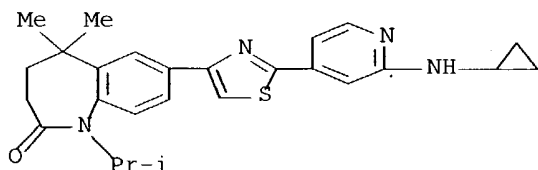
RN 221691-90-3 CAPLUS

CN 2H-1-Benzazepin-2-one, 7-[2-(2-chloro-4-pyridinyl)-4-thiazolyl]-1,3,4,5-tetrahydro-5,5-dimethyl-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



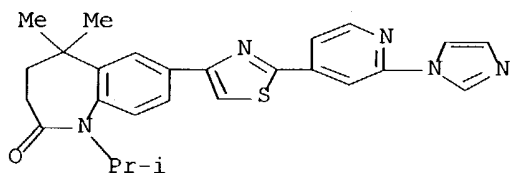
RN 221691-92-5 CAPLUS

CN 2H-1-Benzazepin-2-one, 7-[2-[2-(cyclopropylamino)-4-pyridinyl]-4-thiazolyl]-1,3,4,5-tetrahydro-5,5-dimethyl-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



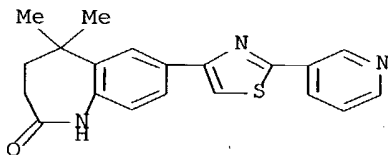
RN 221691-93-6 CAPLUS

CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-7-[2-[2-(1H-imidazol-1-yl)-4-pyridinyl]-4-thiazolyl]-5,5-dimethyl-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



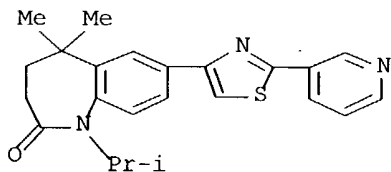
RN 221691-94-7 CAPLUS

CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5,5-dimethyl-7-[2-(3-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



RN 221691-95-8 CAPLUS

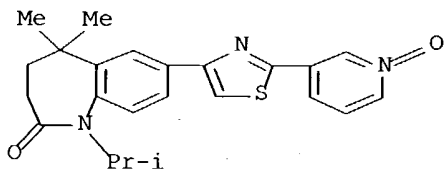
CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5,5-dimethyl-1-(1-methylethyl)-7-[2-(3-pyridinyl)-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

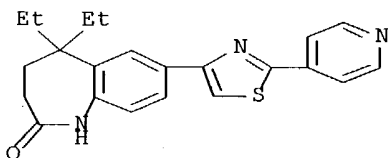
RN 221691-96-9 CAPLUS

CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5,5-dimethyl-1-(1-methylethyl)-7-[2-(1-oxido-3-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



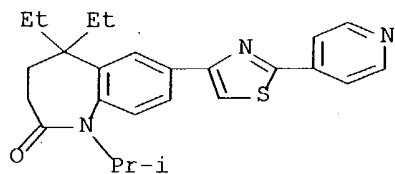
RN 221691-97-0 CAPLUS

CN 2H-1-Benzazepin-2-one, 5,5-diethyl-1,3,4,5-tetrahydro-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



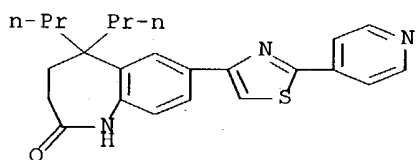
RN 221691-98-1 CAPLUS

CN 2H-1-Benzazepin-2-one, 5,5-diethyl-1,3,4,5-tetrahydro-1-(1-methylethyl)-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



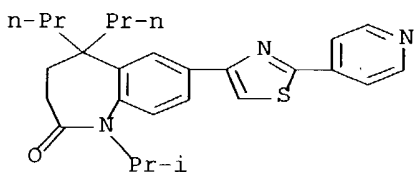
RN 221691-99-2 CAPLUS

CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5,5-dipropyl-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



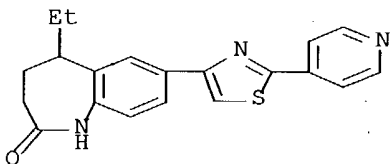
RN 221692-00-8 CAPLUS

CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-1-(1-methylethyl)-5,5-dipropyl-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



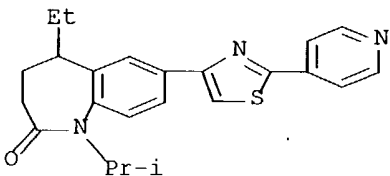
RN 221692-06-4 CAPLUS

CN 2H-1-Benzazepin-2-one, 5-ethyl-1,3,4,5-tetrahydro-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



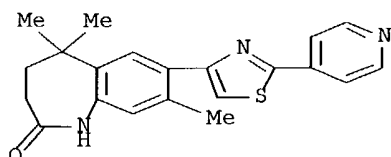
RN 221692-07-5 CAPLUS

CN 2H-1-Benzazepin-2-one, 5-ethyl-1,3,4,5-tetrahydro-1-(1-methylethyl)-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



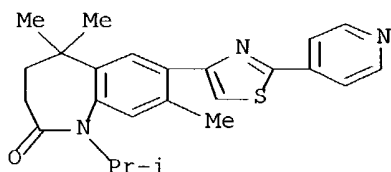
RN 221692-08-6 CAPLUS

CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5,5,8-trimethyl-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



RN 221692-09-7 CAPLUS

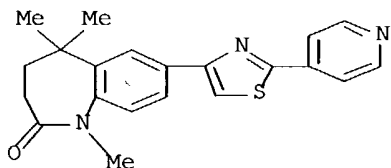
CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5,5,8-trimethyl-1-(1-methylethyl)-7-[2-(4-pyridinyl)-4-thiazolyl]-, hydrochloride (5:6) (9CI)
(CA INDEX NAME)



●6/5 HCl

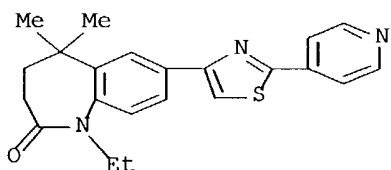
RN 221692-10-0 CAPLUS

CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-1,5,5-trimethyl-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



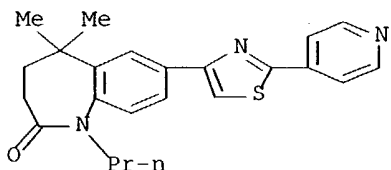
RN 221692-11-1 CAPLUS

CN 2H-1-Benzazepin-2-one, 1-ethyl-1,3,4,5-tetrahydro-5,5-dimethyl-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



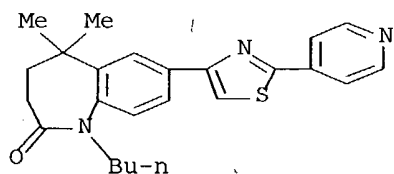
RN 221692-12-2 CAPLUS

CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5,5-dimethyl-1-propyl-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



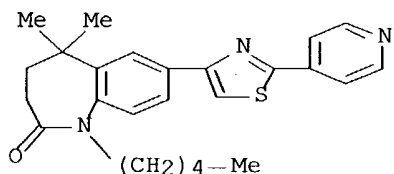
RN 221692-13-3 CAPLUS

CN 2H-1-Benzazepin-2-one, 1-butyl-1,3,4,5-tetrahydro-5,5-dimethyl-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



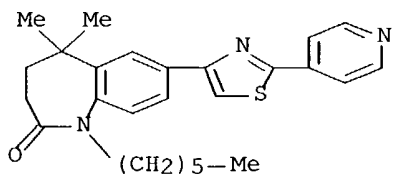
RN 221692-14-4 CAPLUS

CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5,5-dimethyl-1-pentyl-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



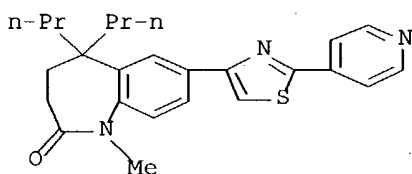
RN 221692-15-5 CAPLUS

CN 2H-1-Benzazepin-2-one, 1-hexyl-1,3,4,5-tetrahydro-5,5-dimethyl-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



RN 221692-19-9 CAPLUS

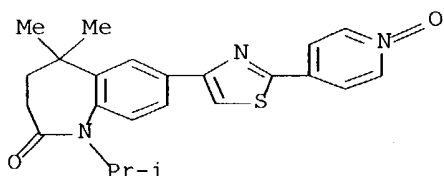
CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-1-methyl-5,5-dipropyl-7-[2-(4-pyridinyl)-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 221692-24-6 CAPLUS

CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5,5-dimethyl-1-(1-methylethyl)-7-[2-(1-oxido-4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



RE.CNT 7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1995:792605 CAPLUS Full-text

DN 123:198647

TI Tetrahydro-1H-benzazepinones and hexahydroazepinones as selective cholecystokinin-B receptor antagonists

IN Lowe, John A., III

PA Pfizer Inc., USA

SO PCT Int. Appl., 85 pp.

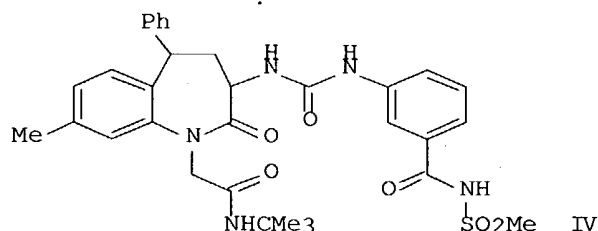
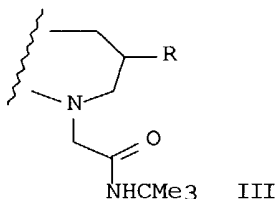
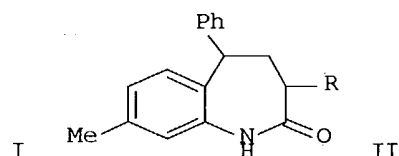
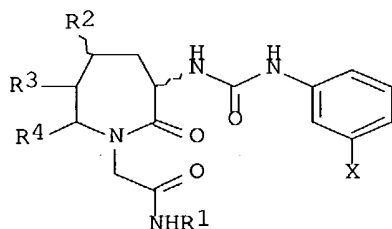
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9503281	A1	19950202	WO 1994-IB111	19940519
	W: AU, BR, CA, CN, CZ, HU, JP, KR, NO, NZ, PL, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2168131	AA	19950202	CA 1994-2168131	19940519
	CA 2168131	C	19981006		
	AU 9466866	A1	19950220	AU 1994-66866	19940519
	EP 711283	A1	19960515	EP 1994-914525	19940519
	EP 711283	B1	19990616		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 08508039	T2	19960827	JP 1994-505034	19940519
	JP 2862675	B2	19990303		
	AT 181323	E	19990715	AT 1994-914525	19940519
	ES 2132401	T3	19990816	ES 1994-914525	19940519
	FI 9403499	A	19950127	FI 1994-3499	19940725
	US 5618811	A	19970408	US 1996-586685	19960118
	FI 9902037	A	19990923	FI 1999-2037	19990923
	FI 9902038	A	19990923	FI 1999-2038	19990923
	FI 9902039	A	19990923	FI 1999-2039	19990923
PRAI	US 1993-97640	A	19930726		
	WO 1994-IB111	W	19940519		
OS	MARPAT 123:198647				
GI					



AB The invention relates to compds. I [R1 = C1-10 alkyl; R2 = Ph or C1-10 alkyl, each optionally substituted by Y1; R3, R4 = (independently) H, C1-10 alkyl, Ph, or R3R4 = benzo fusion with optional substituent Y2; X = tetrazolyl, CONHW; W = alkoxy carbonyl, alkylsulfonyl, alkylsulfamoyl,

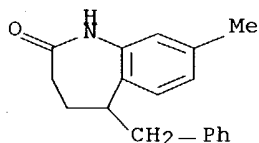
SO₂CF₃, aryloxy carbonyl, other sulfonyl derivs.; Y₁, Y₂ = H, thienyl, pyridyl, furyl, pyrimidyl, halo, alkyl, fluoroalkyl, alkoxy, nitro, cyano, (di)(alkyl)amino, alkylthio, (un)substituted Ph, etc.] and pharmaceutically acceptable salts. The compds. are CCK-B receptor antagonists (no data), and are useful in the treatment and prevention of central nervous system and gastrointestinal disorders. For example, 4-phenyl-7-methyl-1,2,3,4-tetrahydronaphth-1-one (preparation given) was converted to its oxime (72%), which underwent rearrangement and ring expansion upon reaction with Et polyphosphate (28.5%) to give the lactam 5-phenyl-8-methyl-2,3,4,5-tetrahydro-1H-(1)-benzazepin-2-one [II; R = H]. This underwent bromination using PCl₅/pyridine/Br₂ to give 46% II (R = Br), which was deprotonated with NaN(SiMe₃)₂ and N-alkylated with tert-BuNHCOCH₂I to give 36% III (R = Br). Substitution of the bromide to give the azide III (R = N₃) (56%), hydrogenation of the azide to the amine III (R = NH₂) (75%), and reaction with 3-MeO₂CC₆H₄CO₂H and (PhO)₂P(O)N₃ (65%) gave III (R = NHCONHC₆H₄CO₂Me-3). The latter ester underwent hydrolysis by LiOH in H₂O-THF-MeOH (89%) and carbodiimide-mediated condensation with methanesulfonamide (20%) to give title compound IV, a preferred compound

IT 167851-20-9P 167851-43-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of tetrahydrobenzazepinones and hexahydroazepinones as selective cholecystokinin-B receptor antagonists)

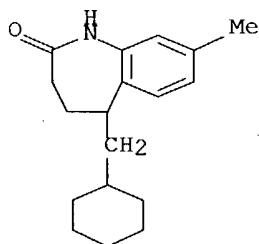
RN 167851-20-9 CAPLUS

CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-8-methyl-5-(phenylmethyl)- (9CI) (CA INDEX NAME)

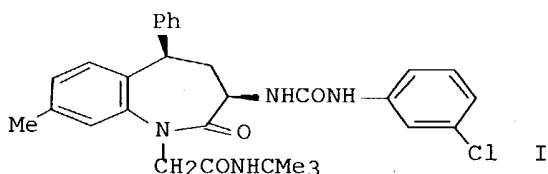


RN 167851-43-6 CAPLUS

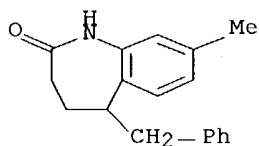
CN 2H-1-Benzazepin-2-one, 5-(cyclohexylmethyl)-1,3,4,5-tetrahydro-8-methyl- (9CI) (CA INDEX NAME)



L10 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1995:103961 CAPLUS Full-text
 DN 122:9842
 TI 5-Phenyl-3-ureidobenzazepin-2-ones as Cholecystokinin-B Receptor Antagonists
 AU Lowe, John A., III; Hageman, David L.; Drozda, Susan E.; McLean, Stafford; Bryce, Dianne K.; Crawford, Rosemary T.; Zorn, Stevin; Morrone, Jean; Bordner, Jon
 CS Central Research Division, Pfizer Inc., Groton, CT, 06340, USA
 SO Journal of Medicinal Chemistry (1994), 37(22), 3789-811
 CODEN: JMCMAR; ISSN: 0022-2623
 DT Journal
 LA English
 GI

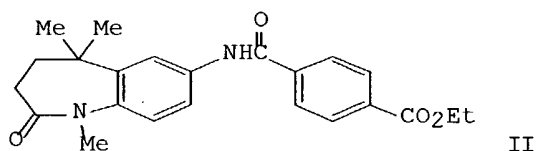
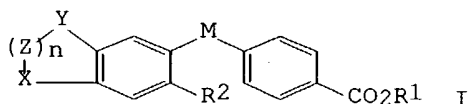


AB A series of 5-phenyl-3-ureidobenzazepin-2-one cholecystokinin-B (CCK-B) receptor antagonists was synthesized using Beckmann ring expansion of a suitable 4-phenyl-1-tetralone as a key step. Structure-activity relationship studies revealed the importance of the 5-Ph group for potent and selective CCK-B affinity. Addition of an 8-Me substituent and resolution provided the potent (CCK-B IC₅₀ = 0.48 nM) CCK-B antagonist I. The role of the 5-Ph group as part of a "privileged structure" for high-affinity receptor antagonism is discussed.
 IT **167851-20-9P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of phenylureidobenzazepinones as cholecystokinin-B receptor antagonists)
 RN 167851-20-9 CAPLUS
 CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-8-methyl-5-(phenylmethyl)-(9CI) (CA INDEX NAME)



L10 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1993:6881 CAPLUS Full-text
 DN 118:6881
 TI Preparation of new heterocyclic carboxamides as skin drugs
 IN Klaus, Michael; Mohr, Peter
 PA F. Hoffmann-La Roche & Co. AG, Switz.
 SO Eur. Pat. Appl., 18 pp.
 CODEN: EPXXDW
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 504695	A1	19920923	EP 1992-103970	19920309
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
	BR 9200951	A	19921117	BR 1992-951	19910319
	AU 9211089	A1	19920924	AU 1992-11089	19920220
	AU 649308	B2	19940519		
	CA 2061662	AA	19920922	CA 1992-2061662	19920221
	US 5216148	A	19930601	US 1992-842662	19920227
	ZA 9201889	A	19921125	ZA 1992-1889	19920313
	HU 60465	A2	19920928	HU 1992-871	19920316
	IL 101242	A1	19951208	IL 1992-101242	19920316
	JP 07165709	A2	19950627	JP 1992-90152	19920317
	NO 9201105	A	19920922	NO 1992-1105	19920320
PRAI	CH 1991-863	A	19910321		
OS	MARPAT 118:6881				
GI					



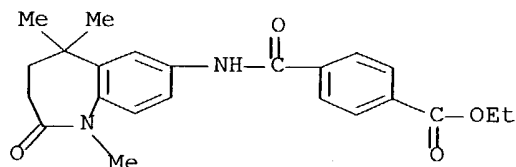
AB Approx. 40 amides I [R1 = H, alkyl, cation; R2 = H, alkyl, alkoxy, halo;
 M = CONH, NHCO; X, Y = CR3R4, CONR5; Z = CR6R7; R3, R4, R6, R7 = H,
 alkyl; R5 = alkyl; n = 0, 1, 2; ≥1 of X and Y = CONR5 with the N bound
 to the Ph ring] were prepared for treatment of inflammatory, allergic,
 rheumatic, immunol., and neoplastic diseases, especially of the skin.
 For example, nitration of 2,3,4,5-tetrahydro-1,5,5-trimethyl-2-oxo-(1H)-
 1-benzazepine (preparation given) with Ac2O and HNO3 gave the 7-nitro
 derivative, which was hydrogenated over Pd/C to give the 7-amino
 derivative. Amidation of this with 4-(EtO2C)C6H4COCl in pyridine gave
 title compound II. The ED50 of tested I for inhibiting proliferation of
 human sebocytes in vitro were in the range 0.1-1 μM. Capsule, tablet,
 and lotion formulations are described.

IT 144583-34-6P 144583-40-4P 144583-45-9P
144583-57-3P 144583-68-6P 144583-69-7P
144583-70-0P 144583-71-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as skin drug)

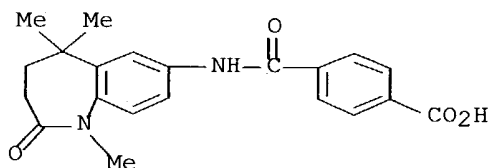
RN 144583-34-6 CAPLUS

CN Benzoic acid, 4-[[[(2,3,4,5-tetrahydro-1,5,5-trimethyl-2-oxo-1H-1-benzazepin-7-yl)amino]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



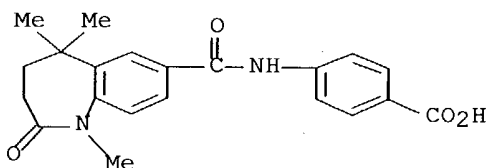
RN 144583-40-4 CAPLUS

CN Benzoic acid, 4-[[[(2,3,4,5-tetrahydro-1,5,5-trimethyl-2-oxo-1H-1-benzazepin-7-yl)amino]carbonyl]- (9CI) (CA INDEX NAME)



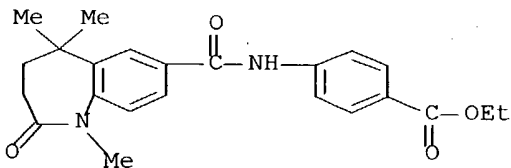
RN 144583-45-9 CAPLUS

CN Benzoic acid, 4-[[[(2,3,4,5-tetrahydro-1,5,5-trimethyl-2-oxo-1H-1-benzazepin-7-yl)carbonyl]amino]- (9CI) (CA INDEX NAME)



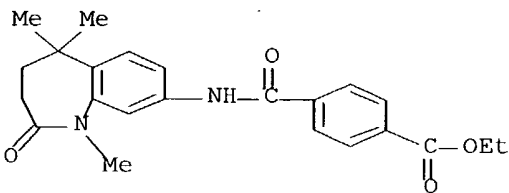
RN 144583-57-3 CAPLUS

CN Benzoic acid, 4-[[[(2,3,4,5-tetrahydro-1,5,5-trimethyl-2-oxo-1H-1-benzazepin-7-yl)carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



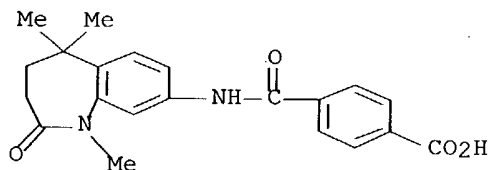
RN 144583-68-6 CAPLUS

CN Benzoic acid, 4-[[[(2,3,4,5-tetrahydro-1,5,5-trimethyl-2-oxo-1H-1-benzazepin-8-yl)amino]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



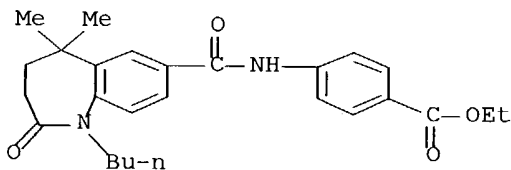
RN 144583-69-7 CAPLUS

CN Benzoic acid, 4-[[[(2,3,4,5-tetrahydro-1,5,5-trimethyl-2-oxo-1H-1-benzazepin-8-yl)amino]carbonyl]- (9CI) (CA INDEX NAME)



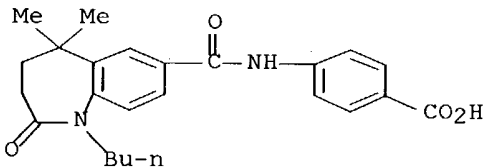
RN 144583-70-0 CAPLUS

CN Benzoic acid, 4-[[[(1-butyl-2,3,4,5-tetrahydro-5,5-dimethyl-2-oxo-1H-1-benzazepin-7-yl)carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

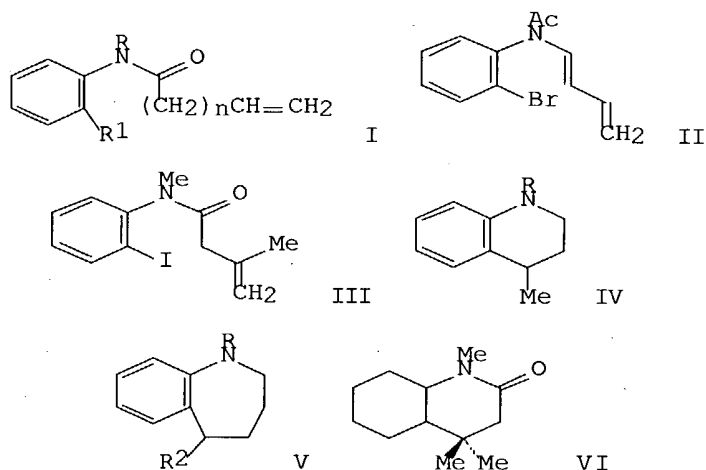


RN 144583-71-1 CAPLUS

CN Benzoic acid, 4-[[[(1-butyl-2,3,4,5-tetrahydro-5,5-dimethyl-2-oxo-1H-1-benzazepin-7-yl)carbonyl]amino]- (9CI) (CA INDEX NAME)



L10 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1991:492039 CAPLUS Full-text
 DN 115:92039
 TI Aryl radical cyclizations: quinoline, isoquinolone, and
 1-benzazepin-2-one rings via 6- and 7-exo cyclizations
 AU Clark, Andrew J.; Jones, Keith; McCarthy, Clive; Storey, John M. D.
 CS Dep. Chem., King's Coll., London, WC2R 2LS, UK
 SO Tetrahedron Letters (1991), 32(24), 2829-32
 CODEN: TELEAY; ISSN: 0040-4039
 DT Journal
 LA English
 OS CASREACT 115:92039
 GI



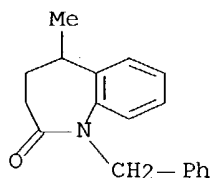
AB The cyclization of aryl radicals derived by treatment of aryl halides I
 (R = Me, R1 = iodo, n = 1, 2; R = PhCH2, R1 = Br, n = 1, 2), II, and
 III, with Bu3SnH was investigated. I (n = 1), when treated with
 Bu3SnCl, NaBH3CN in Me3COH, gave IV and V (R2 = H), while II gave VI,
 and I (n = 2) gave only V (R2 = Me).

IT **135579-13-4P**

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, via aryl radical cyclization of alkenoanilide)

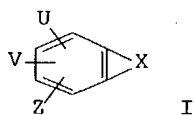
RN 135579-13-4 CAPLUS

CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5-methyl-1-(phenylmethyl)-
 (9CI) (CA INDEX NAME)



L10 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1989:172903 CAPLUS Full-text
 DN 110:172903
 TI Preparation of aryl-substituted naphthalenes, benzoxepines,
 benzazepines, and benzocycloheptenes as drugs
 IN Shih, Neng Yang; Mangiaracina, Pietro; Green, Michael J.; Ganguly, Ashit
 Kumar
 PA Schering Corp., USA
 SO Eur. Pat. Appl., 86 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 291327	A2	19881117	EP 1988-304354	19880513
	EP 291327	A3	19890125		
	R: ES, GR				
	WO 8808836	A2	19881117	WO 1988-US1524	19880513
	WO 8808836	A3	19881215		
	W: AU, DK, FI, HU, JP, KR, NO, US				
	RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	AU 8817209	A1	19881206	AU 1988-17209	19880513
	AU 617672	B2	19911205		
	EP 368866	A1	19900523	EP 1988-904379	19880513
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	JP 02501737	T2	19900614	JP 1988-504187	19880513
	HU 52027	A2	19900628	HU 1988-3491	19880513
	ZA 8803446	A	19890222	ZA 1988-3446	19880516
	DK 8905716	A	19891115	DK 1989-5716	19891115
	US 5225436	A	19930706	US 1991-776891	19911015
	US 5446069	A	19950829	US 1993-37865	19930329
PRAI	US 1987-51108	A	19870515		
	WO 1988-US1524	A	19880513		
	US 1989-435509	B1	19891018		
	US 1991-776891	A3	19911015		
OS	MARPAT 110:172903				
GI					



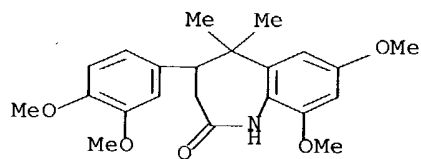
AB The title compds. [I; X = atoms to complete an (un)substituted 6- or 7-membered ring. optionally contg a double bond, C, N, O; U, V, Z = H, alkyl, OH, SH, (un)substituted Ph, PhCH₂O, HCO, HOCH₂, halo, etc.] and their pharmaceutically acceptable salts, useful in treating hyperproliferative skin disease, allergic reactions, and inflammation, are prepared 3-(3,4-Dimethoxyphenyl)-2-hydroxy-6,8-dimethoxy-4,4-dimethyl-1(4H)-naphthalenone (preparation given) in CH₂Cl₂ was added to BBr₃ in CH₂Cl₂ over 10 min at -78° under N to give 3-(3,4-dihydroxyphenyl)-2,6,8-trihydroxy-4,4-dimethyl-1(4H)-naphthaleneone (II). At 50 µM II gave 81% inhibition of SRS-A release from sensitized, antigen-challenged guinea pig lung tissue.

IT **120078-99-1P**
 RL: BAC (Biological activity or effector, except adverse); BSU

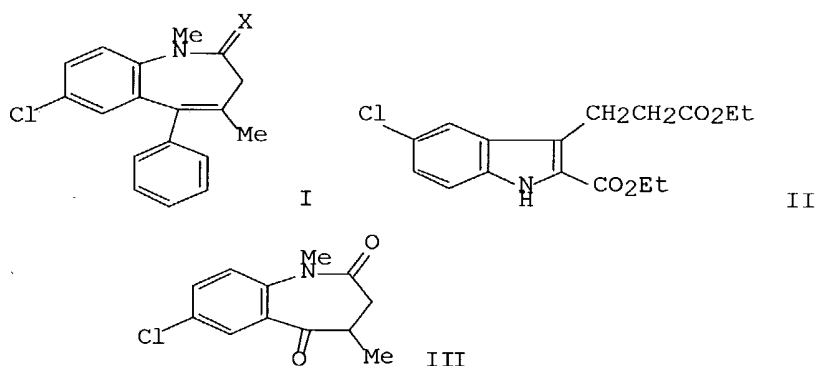
(Biological study, unclassified); SPN (Synthetic preparation); BIOL
(Biological study); PREP (Preparation) (preparation of, as drug)

RN 120078-99-1 CAPLUS

CN 2H-1-Benzazepin-2-one, 4-(3,4-dimethoxyphenyl)-1,3,4,5-tetrahydro-7,9-
dimethoxy-5,5-dimethyl- (9CI) (CA INDEX NAME)



L10 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1982:52162 CAPLUS Full-text
 DN 96:52162
 TI Benzocondensed heptaatomic heterocycles and their derivatives. VII.
 Synthesis of benzazepines and benzazepinone analogs of known anxiolytic
 drugs
 AU Rossi, Silvano; Micheli, Marcello; Giannotti, Michele; Salvatori,
 Americo;
 Peruzzi, Guidubaldo
 CS Ist. Chim. Farm., Univ. Urbino, Urbino, I-61029, Italy
 SO Gazzetta Chimica Italiana (1981), 111(7-8), 365-70
 CODEN: GCITA9; ISSN: 0016-5603
 DT Journal
 LA English
 GI



AB Benzazepinone I (X = O) was prepared in 8 steps from p-ClC₆H₄N₂⁺ Cl⁻ and
 Et 2-oxocyclopentanecarboxylate via ring closure to give II, oxidation,
 hydrolysis, reductive cyclization to give III, methylation, Grignard
 reaction with PhBr, and dehydration. Subsequent reduction by LiAlH₄
 gave I (X = H₂). Both synthesized I had sedative properties.

IT **80452-50-2P 80452-58-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

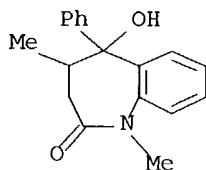
RACT

(Reactant or reagent)

(preparation and dehydration of)

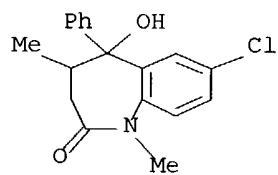
RN 80452-50-2 CAPLUS

CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5-hydroxy-1,4-dimethyl-5-
 phenyl-(9CI) (CA INDEX NAME)



RN 80452-58-0 CAPLUS

CN 2H-1-Benzazepin-2-one, 7-chloro-1,3,4,5-tetrahydro-5-hydroxy-1,4-dimethyl-5-phenyl- (9CI) (CA INDEX NAME)



L10 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1965:462188 CAPLUS Full-text

DN 63:62188

OREF 63:11298e-f

TI Limitations in ring rearrangement of fused γ -lactams imposed by a quaternary carbon atom. Cyclization of acid lactams to spiro keto lactams

AU Walker, Gordon N.; Alkalay, David; Smith, Ronald T.

CS CIBA Corp., Summit, NJ

SO Journal of Organic Chemistry (1965), 30(9), 2973-83

CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

LA English

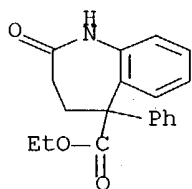
OS CASREACT 63:62188

AB Through spectra, derivatives, and cyclizations to spirooxindoleindanones and spirooxindoletetralones, it is demonstrated that 1,3-disubstituted oxindole-3-acetic acids do not rearrange to 4-carboxyhydroxycarbostyrils. Ring contractions, revolving around a quaternary C atom, of 2,3,4,5-tetrahydro-6,7-benzazepin-2-one-5-carboxylic acids and related seven-membered lactams take place as previously reported for simpler analogs.

IT **3389-93-3**, 1H-1-Benzazepine-5-carboxylic acid, 2,3,4,5-tetrahydro-2-oxo-5-phenyl-, ethyl ester **3389-94-4**, 1H-1-Benzazepine-5-carboxylic acid, 2,3,4,5-tetrahydro-1-methyl-2-oxo-5-phenyl-, ethyl ester (preparation of)

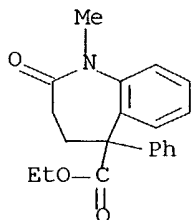
RN 3389-93-3 CAPLUS

CN 1H-1-Benzazepine-5-carboxylic acid, 2,3,4,5-tetrahydro-2-oxo-5-phenyl-, ethyl ester (7CI, 8CI) (CA INDEX NAME)



RN 3389-94-4 CAPLUS

CN 1H-1-Benzazepine-5-carboxylic acid, 2,3,4,5-tetrahydro-1-methyl-2-oxo-5-phenyl-, ethyl ester (7CI, 8CI) (CA INDEX NAME)



=> d ll; d his
L1 HAS NO ANSWERS
L1 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

(FILE 'HOME' ENTERED AT 14:44:49 ON 03 DEC 2004)

FILE 'REGISTRY' ENTERED AT 14:44:57 ON 03 DEC 2004

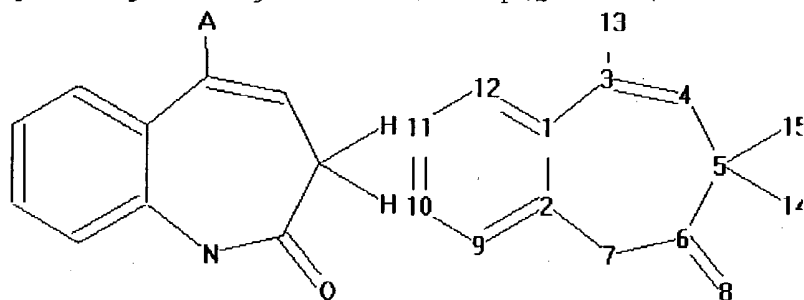
L1 STRUCTURE UPLOADED
L2 16 S L1
L3 STRUCTURE UPLOADED
L4 1 S L3
L5 STRUCTURE UPLOADED
L6 4 S L5
L7 230 S L5 FUL
L8 5 S L1 SAM SUB=L7
L9 166 S L1 FUL SUB=L7

FILE 'CAPLUS' ENTERED AT 14:56:18 ON 03 DEC 2004

L10 12 S L9

=>

Uploading C:\Program Files\Stnexp\Queries\824244.str



chain nodes :

8 13 14 15

ring nodes :

1 2 3 4 5 6 7 9 10 11 12

chain bonds :

3-13 5-14 5-15 6-8

ring bonds :

1-3 1-2 1-12 2-7 2-9 3-4 4-5 5-6 6-7 9-10 10-11 11-12

exact/norm bonds :

2-7 3-13 6-7 6-8

exact bonds :

1-3 3-4 4-5 5-6 5-14 5-15

normalized bonds :

1-2 1-12 2-9 9-10 10-11 11-12

isolated ring systems :

containing 1 :

Match level :

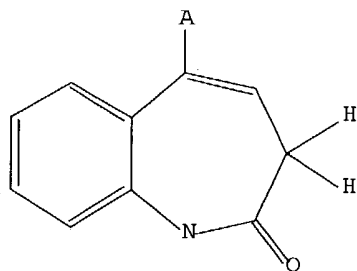
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:Atom
10:Atom
11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS

L11 STRUCTURE UPLOADED

=> d l11

L11 HAS NO ANSWERS

L11 STR



Structure attributes must be viewed using STN Express query preparation.

FILE 'CAPLUS' ENTERED AT 14:56:18 ON 03 DEC 2004
 L10 12 S L9
 L11 STRUCTURE UPLOADED
 S L11

FILE 'REGISTRY' ENTERED AT 14:58:08 ON 03 DEC 2004
 L12 2 S L11

FILE 'CAPLUS' ENTERED AT 14:58:09 ON 03 DEC 2004
 L13 2 S L12
 S L11

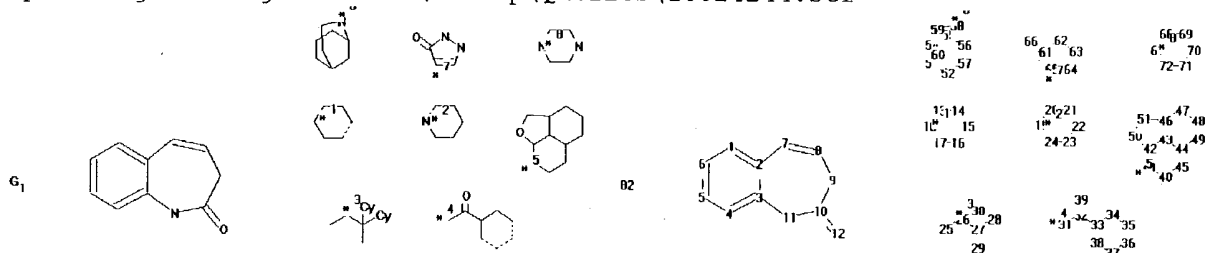
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 L14 43 S L11 FUL

FILE 'CAPLUS' ENTERED AT 14:58:14 ON 03 DEC 2004
 L15 23 S L14 FUL

FILE 'REGISTRY' ENTERED AT 14:58:30 ON 03 DEC 2004

=>

Uploading C:\Program Files\Stnexp\Queries\10824244.str



chain nodes :

12 25 26 27 28 29 30 31 32 39 66 82

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 13 14 15 16 17 18 19 20 21 22 23
 24

33 34 35 36 37 38 40 41 42 43 44 45 46 47 48 49 50 51 52

53 54 55 56 57 58

59 60 61 62 63 64 65 67 68 69 70 71 72

chain bonds :

10-12 25-26 26-27 27-28 27-29 27-30 31-32 32-33 32-39 61-66

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-11 4-5 5-6 7-8 8-9 9-10 10-11 13-14 13-18
 14-15

15-16 16-17 17-18 19-20 19-24 20-21 21-22 22-23 23-24 33-34 33-38

34-35 35-36 36-37

37-38 40-41 40-45 41-42 42-43 42-50 43-44 43-46 44-45 44-49 46-47

46-51 47-48 48-49

50-51 52-53 52-57 52-60 53-54 54-55 55-56 56-57 56-58 58-59 59-60

61-62 61-65 62-63

63-64 64-65 67-68 67-72 68-69 69-70 70-71 71-72

exact/norm bonds :

2-7 3-11 7-8 8-9 9-10 10-11 10-12 13-14 13-18 14-15 15-16 16-17
 17-18

19-20 19-24 20-21 21-22 22-23 23-24 27-28 27-30 32-39 33-34 33-38

34-35 35-36 36-37
 37-38 40-41 40-45 41-42 42-43 42-50 43-44 43-46 44-45 44-49 46-47
 46-51 47-48 48-49
 50-51 52-53 52-57 52-60 53-54 54-55 55-56 56-57 56-58 58-59 59-60
 61-62 61-65 61-66 62-63
 63-64 64-65 67-68 67-72 68-69 69-70 70-71 71-72
 exact bonds :
 25-26 26-27 27-29 31-32 32-33
 normalized bonds :
 1-2 1-6 2-3 3-4 4-5 5-6

G1:[*1],[*2],[*3],[*4],[*5],[*6],[*7],[*8]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
 10:Atom
 11:Atom 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom
 19:Atom 20:Atom 21:Atom
 22:Atom 23:Atom 24:Atom 25:CLASS 26:CLASS 27:CLASS 28:Atom 29:CLASS
 30:Atom 31:CLASS 32:CLASS
 33:Atom 34:Atom 35:Atom 36:Atom 37:Atom 38:Atom 39:CLASS 40:Atom
 41:Atom 42:Atom 43:Atom
 44:Atom 45:Atom 46:Atom 47:Atom 48:Atom 49:Atom 50:Atom 51:Atom
 52:Atom 53:Atom 54:Atom
 55:Atom 56:Atom 57:Atom 58:Atom 59:Atom 60:Atom 61:Atom 62:Atom
 63:Atom 64:Atom 65:Atom
 66:CLASS 67:Atom 68:Atom 69:Atom 70:Atom 71:Atom 72:Atom 82:CLASS

L16 STRUCTURE UPLOADED

=> d l16

L16 HAS NO ANSWERS

L16 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s l16 sub=l14 sam

SAMPLE SUBSET SEARCH INITIATED 14:59:41 FILE 'REGISTRY'

SAMPLE SUBSET SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET):

ONLINE **COMPLETE**

PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET):

0 TO 0

PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET):

0 TO 0

L17 0 SEA SUB=L14 SSS SAM L16

=> s l16 sub=l14 ful

FULL SUBSET SEARCH INITIATED 14:59:47 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 10 TO ITERATE

100.0% PROCESSED 10 ITERATIONS 8 ANSWERS
SEARCH TIME: 00.00.01

L18 8 SEA SUB=L14 SSS FUL L16

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	37.49	452.49

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-8.40

FILE 'CAPLUS' ENTERED AT 14:59:52 ON 03 DEC 2004
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FILE COVERS 1907 - 3 Dec 2004 VOL 141 ISS 23
FILE LAST UPDATED: 1 Dec 2004 (20041201/ED)

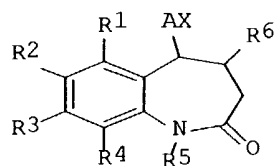
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l18

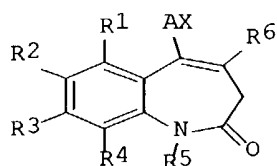
L19 2 L18

L19 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2003:356425 \CAPLUS Full-text
 DN 138:353845
 TI Preparation of 2H-1-benzazepin-2-ones as analgesics
 IN Sattlegger, Michael; Buschmann, Helmut; Przewosny, Michael; Englberger, Werner; Koegel, Babette-Yvonne; Schick, Hans
 PA Gruenenthal G.m.b.H., Germany
 SO PCT Int. Appl., 73 pp.
 CODEN: PIXXD2
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2003037873	A1	20030508	WO 2002-EP11830	20021023	
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	RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
	DE 10153348	A1	20030508	DE 2001-10153348	20011029	
	EP 1444206	A1	20040811	EP 2002-781280	20021023	
	R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK		
	US 2004224938	A1	20041111	US 2004-824244	20040414	
PRAI	DE 2001-10153348	A	20011029			
	WO 2002-EP11830	W	20021023			
OS	MARPAT 138:353845					
GI						



I



II

AB Title compds. [I; R1-R4 = H, halo, OH, (branched) (saturated) C1-10 aliphatic group, C3-7 cycloaliph. group; whereby the both aliphatic and cycloaliph. groups are bonded by an ether bridge; R5 = H, (branched) (saturated) C1-10 aliphatic group, (hetero)aryl; R6 = OH, CH2NR72; R7 = (branched) (saturated) C1-6 aliphatic group, C3-6 cycloaliph. group; or NR7 = 3-8 membered cyclyl; A = (CH2)n+2, (CH2)nCH:CH, (CH2)nCO2, (CH2)nCONH, (CH2)n+10(CH2)pCO, (CH2)n+10, (CH2)n+1NR8; p = 0, 1; n = 0-3; R8 = H, (branched) (saturated) C1-10 aliphatic group, C3-7 cycloaliph. group, (hetero)aryl; X = (substituted) phenylcyclohexyl, etc.], were prepared Thus, 4-amino-2-(N,N-dimethylaminomethyl)-1-(3-methoxyphenyl)cyclohexan-1-ol was reacted with (8-chloro-1-methyl-2-oxo-2,3-dihydro-1H-1-benzazepin-5-yl)acetic acid (analog preparation given) in the presence of dicyclohexylcarbodiimide, N-methylmorpholine, and 1-hydroxybenzotriazole in DMF to give 75% (8-chloro-1-methyl-2-oxo-2,3-dihydro-1H-1-benzazepin-5-yl)-N-[3-(N,N-dimethylaminomethyl)-4-hydroxy-

4-(3-methoxyphenyl)cyclohexyl]acetamide. The latter at 10 mg/kg i.v. in mice gave 25% inhibition of phenylquinone-induced writhing.

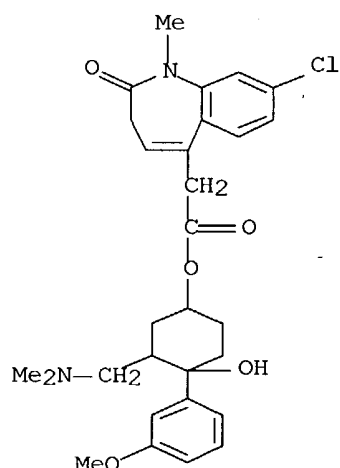
IT 521058-14-0P 521058-17-3P 521058-18-4P

521058-19-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of benzazepinones as analgesics)

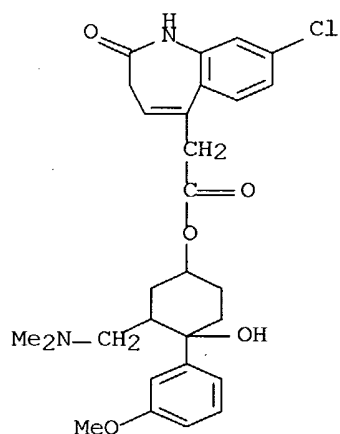
RN 521058-14-0 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 8-chloro-2,3-dihydro-1-methyl-2-oxo-, 3-[(dimethylamino)methyl]-4-hydroxy-4-(3-methoxyphenyl)cyclohexyl ester (9CI) (CA INDEX NAME)



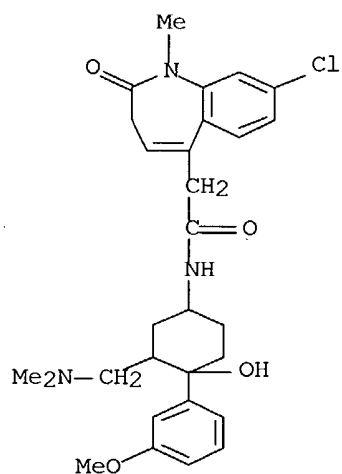
RN 521058-17-3 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 8-chloro-2,3-dihydro-2-oxo-, 3-[(dimethylamino)methyl]-4-hydroxy-4-(3-methoxyphenyl)cyclohexyl ester (9CI) (CA INDEX NAME)



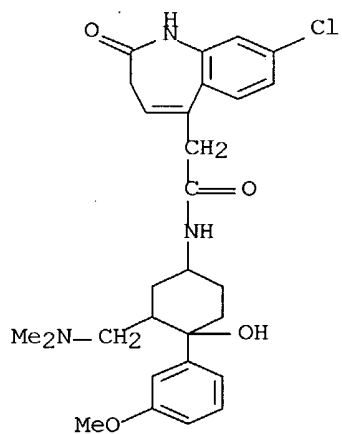
RN 521058-18-4 CAPLUS

CN 1H-1-Benzazepine-5-acetamide, 8-chloro-N-[3-[(dimethylamino)methyl]-4-hydroxy-4-(3-methoxyphenyl)cyclohexyl]-2,3-dihydro-1-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 521058-19-5 CAPLUS

CN 1H-1-Benzazepine-5-acetamide, 8-chloro-N-[3-[(dimethylamino)methyl]-4-hydroxy-4-(3-methoxyphenyl)cyclohexyl]-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2001:903802 CAPLUS Full-text
 DN 136:37604
 TI Preparation of azolylazepinyllacetates as ligands of integrin receptors.
 IN Geneste, Herve; Kling, Andreas; Lange, Udo; Seitz, Werner; Graef, Claudia Isabella; Subkowski, Thomas; Hornberger, Wilfried; Lauterbach, Arnulf
 PA BASF AG, Germany
 SO PCT Int. Appl., 187 pp.
 CODEN: PIXXD2
 DT Patent
 LA German
 FAN.CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001093840	A2	20011213	WO 2001-EP6397	20010606
	WO 2001093840	A3	20020808		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	DE 10027514	A1	20020103	DE 2000-10027514	20000606
	CA 2411549	AA	20021205	CA 2001-2411549	20010606
	EP 1286673	A2	20030305	EP 2001-945258	20010606
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004501120	T2	20040115	JP 2002-501413	20010606
PRAI	DE 2000-10027514	A	20000606		
	WO 2001-EP6397	W	20010606		

OS MARPAT 136:37604

AB Use of BGL [L = UT; T = CO₂H, group hydrolyzable to CO₂H, or a CO₂H bioisostere; U = Xa(CR₁R₂)b, CR₁:CR₂, C.tplbond.C, CR₁; X = CR₃R₄, imino, O, S; a = 0, 1; b = 0-2; R₁-R₄ = H, T, OH, amino, CONH₂, halo, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, etc.; G = specified heterocyclylene; B = structural element containing ≥1 atom which under physiol. conditions can undergo hydrogen bridge bonding] as integrin receptor ligands is claimed (no data). Thus, [5-(2-tert-butoxy-2-oxoethyl)-2-oxo-2,3,4,5-tetrahydro-1H-benzazepin-1-yl]acetic acid (preparation given) and N-[5-(aminomethyl)thiazol-2-yl]guanidine dihydrochloride (preparation given) in DMF at 0° were treated with N-methylmorpholine and TOTU to give 65% tert-Bu [1-[2-[[[2-[[amino(imino)methyl]amino]thiazol-5-yl]methyl]amino]-2-oxoethyl]-2-oxo-2,3,4,5-tetrahydro-1H-benzazepin-5-yl]acetate. Drug preps. containing BGL and numerous other drug classes, e.g. blood platelet adhesion, activation, and aggregation inhibitors, are also claimed.

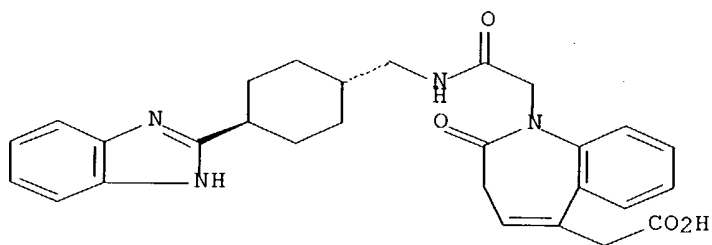
IT 380395-96-0P 380395-97-1P 380395-98-2P
 380395-99-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of azolylazepinyllacetates as ligands of integrin receptors)

RN 380395-96-0 CAPLUS

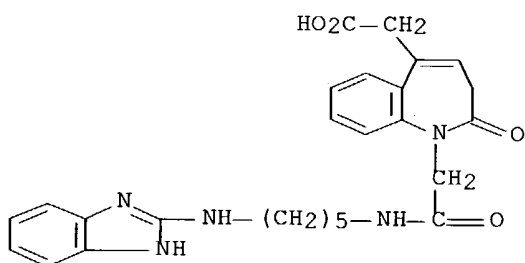
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-yl)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



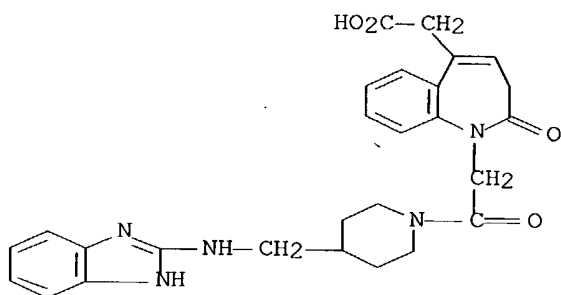
RN 380395-97-1 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[5-(1H-benzimidazol-2-ylamino)pentyl]amino]-2-oxoethyl]-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)



RN 380395-98-2 CAPLUS

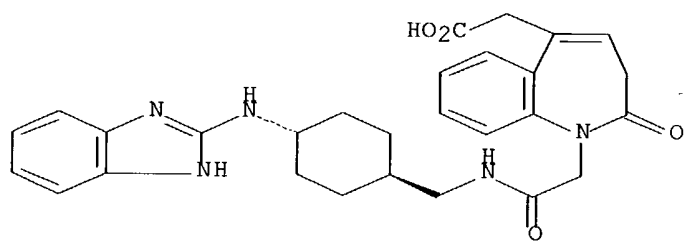
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[4-[(1H-benzimidazol-2-ylamino)methyl]-1-piperidinyl]-2-oxoethyl]-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)



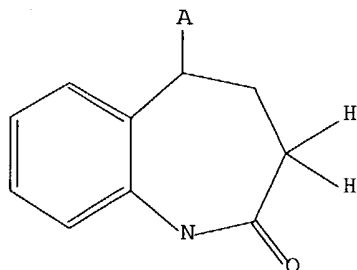
RN 380395-99-3 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

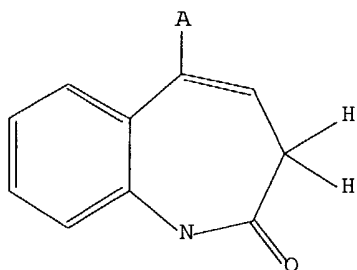


=> d 15; d 111
L5 HAS NO ANSWERS
L5 STR



Structure attributes must be viewed using STN Express query preparation.

L11 HAS NO ANSWERS
L11 STR



Structure attributes must be viewed using STN Express query preparation.

=> d his

(FILE 'HOME' ENTERED AT 14:44:49 ON 03 DEC 2004)

FILE 'REGISTRY' ENTERED AT 14:44:57 ON 03 DEC 2004

L1 STRUCTURE UPLOADED
L2 16 S L1
L3 STRUCTURE UPLOADED
L4 1 S L3
L5 STRUCTURE UPLOADED
L6 4 S L5
L7 230 S L5 FUL
L8 5 S L1 SAM SUB=L7
L9 166 S L1 FUL SUB=L7

FILE 'CAPLUS' ENTERED AT 14:56:18 ON 03 DEC 2004

L10 12 S L9
L11 STRUCTURE UPLOADED
S L11

FILE 'REGISTRY' ENTERED AT 14:58:08 ON 03 DEC 2004

L12 2 S L11

FILE 'CAPLUS' ENTERED AT 14:58:09 ON 03 DEC 2004

L13 2 S L12
S L11

L14 FILE 'REGISTRY' ENTERED AT 14:58:13 ON 03 DEC 2004
43 S L11 FUL

L15 FILE 'CAPLUS' ENTERED AT 14:58:14 ON 03 DEC 2004
23 S L14 FUL

L16 FILE 'REGISTRY' ENTERED AT 14:58:30 ON 03 DEC 2004
STRUCTURE UPLOADED
L17 0 S L16 SAM SUB=L14
L18 8 S L16 FUL SUB=L14

L19 FILE 'CAPLUS' ENTERED AT 14:59:52 ON 03 DEC 2004
2 S L18

FILE 'REGISTRY' ENTERED AT 15:00:38 ON 03 DEC 2004

FILE 'CAPLUS' ENTERED AT 15:00:38 ON 03 DEC 2004

=> log y

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.44	465.08

FULL ESTIMATED COST

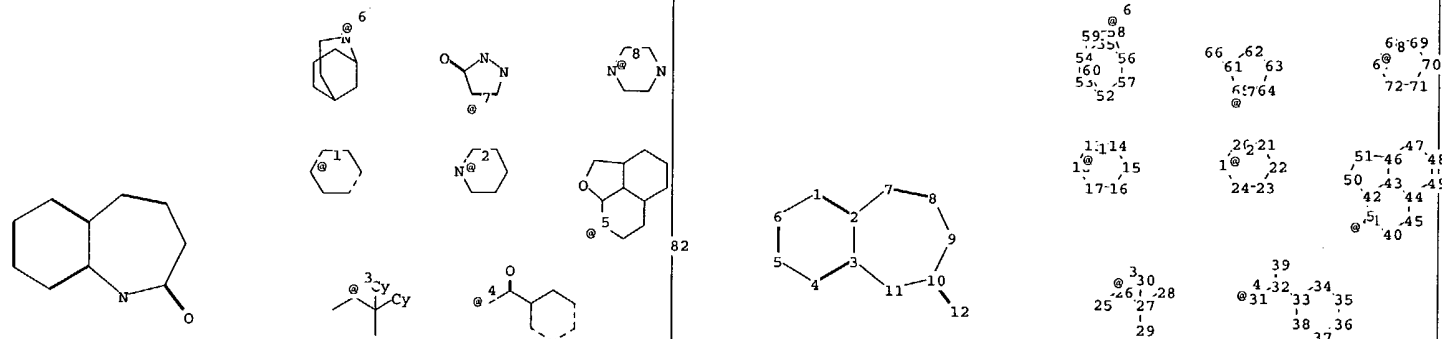
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
0.00	-9.80

CA SUBSCRIBER PRICE

STN INTERNATIONAL LOGOFF AT 15:01:15 ON 03 DEC 2004

G1



chain nodes :

12 25 26 27 28 29 30 31 32 39 66 82

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 13 14 15 16 17 18 19 20 21 22 23 24 33 34
35 36 37 38 40 41 42 43 44 45 46 47 48 49 50 51 52 53 54 55 56 57 58
59 60 61 62 63 64 65 67 68 69 70 71 72

chain bonds :

10-12 25-26 26-27 27-28 27-29 27-30 31-32 32-33 32-39 61-66

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-11 4-5 5-6 7-8 8-9 9-10 10-11 13-14 13-18 14-15 15-16
16-17 17-18 19-20 19-24 20-21 21-22 22-23 23-24 33-34 33-38 34-35 35-36 36-37
37-38 40-41 40-45 41-42 42-43 42-50 43-44 43-46 44-45 44-49 46-47 46-51 47-48
48-49 50-51 52-53 52-57 52-60 53-54 54-55 55-56 56-57 56-58 58-59 59-60 61-62
61-65 62-63 63-64 64-65 67-68 67-72 68-69 69-70 70-71 71-72

exact/norm bonds :

2-7 3-11 7-8 8-9 9-10 10-11 10-12 13-14 13-18 14-15 15-16 16-17 17-18 19-20
19-24 20-21 21-22 22-23 23-24 27-28 27-30 32-39 33-34 33-38 34-35 35-36 36-37
37-38 40-41 40-45 41-42 42-43 42-50 43-44 43-46 44-45 44-49 46-47 46-51 47-48
48-49 50-51 52-53 52-57 52-60 53-54 54-55 55-56 56-57 56-58 58-59 59-60 61-62
61-65 61-66 62-63 63-64 64-65 67-68 67-72 68-69 69-70 70-71 71-72

exact bonds :

25-26 26-27 27-29 31-32 32-33

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

G1:[*1],[*2],[*3],[*4],[*5],[*6],[*7],[*8]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom
22:Atom 23:Atom 24:Atom 25:CLASS 26:CLASS 27:CLASS 28:Atom 29:CLASS 30:Atom
31:CLASS 32:CLASS 33:Atom 34:Atom 35:Atom 36:Atom 37:Atom 38:Atom 39:CLASS 40:Atom
41:Atom 42:Atom 43:Atom 44:Atom 45:Atom 46:Atom 47:Atom 48:Atom 49:Atom 50:Atom
51:Atom